226

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssspta1626gms

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2	Apr 08	"Ask CAS" for self-help around the clock
NEWS 3	Apr 09	BEILSTEIN: Reload and Implementation of a New Subject Area
NEWS 4	Apr 09	ZDB will be removed from STN
NEWS 5	Apr 19	US Patent Applications available in IFICDB, IFIPAT, and IFIUDB
NEWS 6	Apr 22	Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS
NEWS 7	Apr 22	BIOSIS Gene Names now available in TOXCENTER
NEWS 8	Apr 22	Federal Research in Progress (FEDRIP) now available
NEWS 9	Jun 03	New e-mail delivery for search results now available
NEWS 10	Jun 10	MEDLINE Reload
NEWS 11	Jun 10	PCTFULL has been reloaded
NEWS 12	Jul 02	FOREGE no longer contains STANDARDS file segment
NEWS 13	Jul 22	USAN to be reloaded July 28, 2002; saved answer sets no longer valid
NEWS 14	Jul 29	Enhanced polymer searching in REGISTRY
NEWS 15	Jul 30	NETFIRST to be removed from STN
NEWS 16	Aug 08	CANCERLIT reload
NEWS 17	Aug 08	PHARMAMarketLetter(PHARMAML) - new on STN
NEWS 18	Aug 08	NTIS has been reloaded and enhanced
NEWS 19	Aug 19	Aquatic Toxicity Information Retrieval (AQUIRE) now available on STN
NEWS 20	Aug 19	IFIPAT, IFICDB, and IFIUDB have been reloaded
NEWS 21	Aug 19	The MEDLINE file segment of TOXCENTER has been reloaded
NEWS 22	Aug 26	Sequence searching in REGISTRY enhanced
NEWS 23	Sep 03	JAPIO has been reloaded and enhanced
NEWS 24	Sep 16	Experimental properties added to the REGISTRY file
NEWS 25	Sep 16	CA Section Thesaurus available in CAPLUS and CA
NEWS 26	Oct 01	CASREACT Enriched with Reactions from 1907 to 1985
NEWS 27	Oct 21	EVENTLINE has been reloaded
NEWS 28	Oct 24	BEILSTEIN adds new search fields
NEWS 29	Oct 24	Nutraceuticals International (NUTRACEUT) now available on STN
NEWS 30	Oct 25	MEDLINE SDI run of October 8, 2002
NEWS 31	Nov 18	DKILIT has been renamed APOLLIT
NEWS 32	Nov 25	More calculated properties added to REGISTRY
NEWS 33	Dec 02	TIBKAT will be removed from STN
NEWS 34	Dec 04	CSA files on STN
NEWS 35	Dec 17	PCTFULL now covers WP/PCT Applications from 1978 to date
NEWS 36	Dec 17	TOXCENTER enhanced with additional content
NEWS 37	Dec 17	Adis Clinical Trials Insight now available on STN
NEWS 38	Dec 30	ISMEC no longer available
NEWS 39	Jan 13	Indexing added to some pre-1967 records in CA/CAPLUS
NEWS 40	Jan 21	NUTRACEUT offering one free connect hour in February 2003
NEWS 41	Jan 21	PHARMAML offering one free connect hour in February 2003
NEWS 42	Jan 29	Simultaneous left and right truncation added to COMPENDEX,

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ENERGY, INSPEC

NEWS EXPRESS	January 6 CURRENT WINDOWS VERSION IS V6.01a, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 01 OCTOBER 2002
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 11:00:45 ON 12 FEB 2003

=>
Uploading
THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
Do you want to switch to the Registry File?
Choice (Y/n):
Switching to the Registry File...
Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 11:01:01 ON 12 FEB 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 11 FEB 2003 HIGHEST RN 488780-79-6
DICTIONARY FILE UPDATES: 11 FEB 2003 HIGHEST RN 488780-79-6

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

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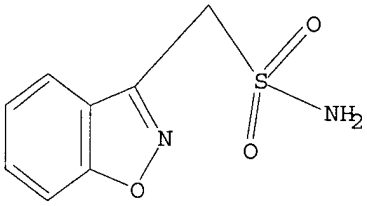
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 10090710.str

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 11:01:16 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 6 TO ITERATE

100.0% PROCESSED 6 ITERATIONS 2 ANSWERS
SEARCH TIME: 00.00.03

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 6 TO 266
PROJECTED ANSWERS: 2 TO 124

L2 2 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 11:01:27 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 99 TO ITERATE

100.0% PROCESSED 99 ITERATIONS 19 ANSWERS
SEARCH TIME: 00.00.01

L3 19 SEA SSS FUL L1

=> FIL CAPLUS FULL
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION

FULL ESTIMATED COST 148.15 148.36

FILE 'CAPLUS' ENTERED AT 11:01:33 ON 12 FEB 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE 'ADISCTI' ENTERED AT 11:01:33 ON 12 FEB 2003

FILE 'ADISINSIGHT' ENTERED AT 11:01:33 ON 12 FEB 2003

FILE 'ADISNEWS' ENTERED AT 11:01:33 ON 12 FEB 2003

FILE 'CEN' ENTERED AT 11:01:33 ON 12 FEB 2003

FILE 'CFR' ENTERED AT 11:01:33 ON 12 FEB 2003

FILE 'DIOGENES' ENTERED AT 11:01:33 ON 12 FEB 2003

FILE 'DRUGNL' ENTERED AT 11:01:33 ON 12 FEB 2003

FILE 'FEDREGFULL' ENTERED AT 11:01:33 ON 12 FEB 2003

FILE 'IMSPROFILES' ENTERED AT 11:01:33 ON 12 FEB 2003

FILE 'INVESTEXT' ENTERED AT 11:01:33 ON 12 FEB 2003

FILE 'NLDB' ENTERED AT 11:01:33 ON 12 FEB 2003

FILE 'PHIC' ENTERED AT 11:01:33 ON 12 FEB 2003

FILE 'PHIN' ENTERED AT 11:01:33 ON 12 FEB 2003

FILE 'PROMT' ENTERED AT 11:01:33 ON 12 FEB 2003

FILE 'USPATFULL' ENTERED AT 11:01:33 ON 12 FEB 2003

FILE 'USPAT2' ENTERED AT 11:01:33 ON 12 FEB 2003

=> FIL REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	22.75	171.11

FILE 'REGISTRY' ENTERED AT 11:01:39 ON 12 FEB 2003

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 11 FEB 2003 HIGHEST RN 488780-79-6
DICTIONARY FILE UPDATES: 11 FEB 2003 HIGHEST RN 488780-79-6

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> FIL CAPLUS

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.40	171.51

FILE 'CAPLUS' ENTERED AT 11:01:42 ON 12 FEB 2003

FILE COVERS 1907 - 12 Feb 2003 VOL 138 ISS 7
FILE LAST UPDATED: 11 Feb 2003 (20030211/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

```
=> s l3
L4          247 L3

=> s l3/p
L5           15 L3/P

=> s l3 and dioxane
           247 L3
         77860 DIOXANE
          2264 DIOXANES
         78359 DIOXANE
                (DIOXANE OR DIOXANES)
L6           2 L3 AND DIOXANE
```

Golam Shameem

```
=> s l4 and dioxane
          77860 DIOXANE
           2264 DIOXANES
          78359 DIOXANE
                (DIOXANE OR DIOXANES)
L7            2 L4 AND DIOXANE

=> s l4 and sulfonating
           3493 SULFONATING
L8            0 L4 AND SULFONATING

=> FIL REGISTRY
COST IN U.S. DOLLARS                                  SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       8.92     180.43

FILE 'REGISTRY' ENTERED AT 11:07:08 ON 12 FEB 2003
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provided by InfoChem.

STRUCTURE FILE UPDATES:   11 FEB 2003  HIGHEST RN 488780-79-6
DICTIONARY FILE UPDATES:  11 FEB 2003  HIGHEST RN 488780-79-6

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

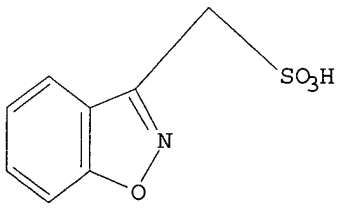
Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available.  See HELP
PROPERTIES for more information.  See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 10090710a.str

L9      STRUCTURE UPLOADED

=> d l9
L9 HAS NO ANSWERS
L9              STR
```



Structure attributes must be viewed using STN Express query preparation.

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```
=> s l9
SAMPLE SEARCH INITIATED 11:07:32 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      4 TO ITERATE

100.0% PROCESSED       4 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            4 TO      200
PROJECTED ANSWERS:               0 TO        0

L10           0 SEA SSS SAM L9

=> s l9 sss full
FULL SEARCH INITIATED 11:07:39 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     69 TO ITERATE

100.0% PROCESSED      69 ITERATIONS                                6 ANSWERS
SEARCH TIME: 00.00.01

L11           6 SEA SSS FUL L9

=> FIL CAPLUS
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   148.15     328.58

FILE 'CAPLUS' ENTERED AT 11:07:50 ON 12 FEB 2003
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FILE COVERS 1907 - 12 Feb 2003  VOL 138 ISS 7
FILE LAST UPDATED: 11 Feb 2003  (20030211/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.


=> d his

     (FILE 'HOME' ENTERED AT 11:00:45 ON 12 FEB 2003)

     FILE 'REGISTRY' ENTERED AT 11:01:01 ON 12 FEB 2003
L1              STRUCTURE UPLOADED
L2            2 S L1
L3           19 S L1 SSS FULL
```

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FILE 'CAPLUS, ADISCTI, ADISINSIGHT, ADISNEWS, CEN, CFR, DIOGENES, DRUGNL, FEDREGFULL, IMSPROFILES, INVESTEXT, NLDB, PHIC, PHIN, PROMT, USPATFULL, USPAT2' ENTERED AT 11:01:33 ON 12 FEB 2003

FILE 'REGISTRY' ENTERED AT 11:01:39 ON 12 FEB 2003

FILE 'CAPLUS' ENTERED AT 11:01:42 ON 12 FEB 2003
L4 247 S L3
L5 15 S L3/P
L6 2 S L3 AND DIOXANE
L7 2 S L4 AND DIOXANE
L8 0 S L4 AND SULFONATING

FILE 'REGISTRY' ENTERED AT 11:07:08 ON 12 FEB 2003
L9 STRUCTURE UPLOADED
L10 0 S L9
L11 6 S L9 SSS FULL

FILE 'CAPLUS' ENTERED AT 11:07:50 ON 12 FEB 2003

=> s l11
L12 6 L11

=> s l11/p
L13 6 L11/P

=> d his

(FILE 'HOME' ENTERED AT 11:00:45 ON 12 FEB 2003)

FILE 'REGISTRY' ENTERED AT 11:01:01 ON 12 FEB 2003
L1 STRUCTURE UPLOADED
L2 2 S L1
L3 19 S L1 SSS FULL

FILE 'CAPLUS, ADISCTI, ADISINSIGHT, ADISNEWS, CEN, CFR, DIOGENES, DRUGNL, FEDREGFULL, IMSPROFILES, INVESTEXT, NLDB, PHIC, PHIN, PROMT, USPATFULL, USPAT2' ENTERED AT 11:01:33 ON 12 FEB 2003

FILE 'REGISTRY' ENTERED AT 11:01:39 ON 12 FEB 2003

FILE 'CAPLUS' ENTERED AT 11:01:42 ON 12 FEB 2003
L4 247 S L3
L5 15 S L3/P
L6 2 S L3 AND DIOXANE
L7 2 S L4 AND DIOXANE
L8 0 S L4 AND SULFONATING

FILE 'REGISTRY' ENTERED AT 11:07:08 ON 12 FEB 2003
L9 STRUCTURE UPLOADED
L10 0 S L9
L11 6 S L9 SSS FULL

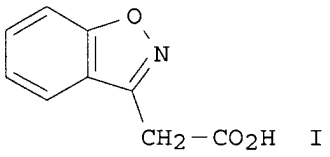
FILE 'CAPLUS' ENTERED AT 11:07:50 ON 12 FEB 2003
L12 6 S L11
L13 6 S L11/P

=> d ibib abs hitstr l5 tot

L5 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:695963 CAPLUS
DOCUMENT NUMBER: 137:216942
TITLE: Process for the preparation of 1,2-benzisoxazole-3-acetic acid, an intermediate in the synthesis of zonisamide
INVENTOR(S): Mendelovici, Mariorare; Nidam, Tamar
PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.
SOURCE: PCT Int. Appl., 14 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002070495	A1	20020912	WO 2002-US6419	20020304
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002183525	A1	20021205	US 2002-90710	20020304

PRIORITY APPLN. INFO.: US 2001-273172P P 20010302
US 2001-294847P P 20010531
OTHER SOURCE(S): CASREACT 137:216942
GI



CH2—CO2H I

AB A process for the prepareation of 1,2-benzisoxazole-3-acetic acid (I) from 4-hydroxycoumarin and hydroxylamine.HCl in the presence of a base is disclosed. Compd. I has com. importance as a key intermediate in the prepn. of Zonisamide. For example, a soln. of 4-hydroxycoumarin (100 g), hydroxylamine hydrochloride (150 g) and diethylamine (160 g) in MeOH (500 mL) was heated at reflux for 1 h. The reaction mixt. was evapd. to dryness and the solid dissolved in aq. NaHCO3 and extd. with ether. After acidification of the aq. phase, the product was isolated by filtration, washed with water and dried to provide I (99.82 g) in 93 % wt./wt. yield. Avantages of the present invention are: (1) the prep. of I without the use of metallic sodium; and (2) the minimization of reaction side-products, e.g., oxime. The process is thus substantially less hazardous than previous methods. The invention also claims the prep. I or salts of which are converted to 1,2-benzisoxazole-3-methanesulfonamide, i.e., zonisamide.
IT **68291-97-4P**, 1,2-Benzisoxazole-3-methanesulfonamide

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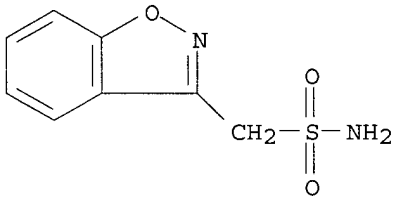
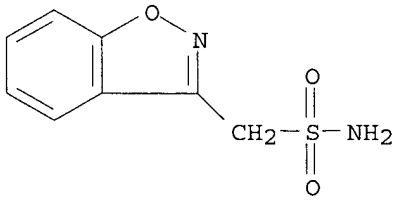
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(product; process for the prepn. of 1,2-benzisoxazole-3-acetic acid, an intermediate in the synthesis of zonisamide)
RN 68291-97-4 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

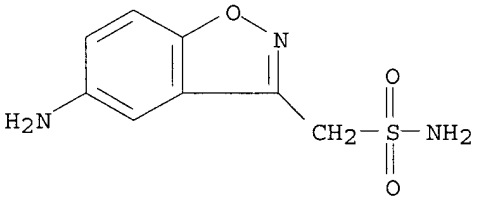
L5 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:457060 CAPLUS
DOCUMENT NUMBER: 125:131417
TITLE: Research on and development of zonisamide, a new type of antiepileptic drug
AUTHOR(S): Shimizu, Masanao; Uno, Hitoshi; Ito, Tsugutaka; Masuda, Yoshinobu; Kurokawa, Mikio
CORPORATE SOURCE: Dainippon Pharmaceutical Co., Ltd., Osaka, 541, Japan
SOURCE: Yakugaku Zasshi (1996), 116(7), 533-547
CODEN: YKKZAJ; ISSN: 0031-6903
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal; General Review
LANGUAGE: Japanese
AB A review, with 55 refs., describing the synthesis and the human and animal pharmacol. of the broad-spectrum antiepileptic drug zonisamide.
IT **68291-97-4P**, Zonisamide
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and pharmacol. of zonisamide, a new type of antiepileptic drug)
RN 68291-97-4 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide (9CI) (CA INDEX NAME)



L5 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1990:584135 CAPLUS
DOCUMENT NUMBER: 113:184135
TITLE: Competitive binding enzyme immunoassay for zonisamide, a new antiepileptic drug, with selected paired-enzyme

Golam Shameem

labeled antigen and antibody [Erratum to document cited in CA112(17):151130z]
AUTHOR(S): Kaibe, Kenzo; Nishimura, Shinzo; Ishii, Hiroo; Sunahara, Noriyuki; Naruto, Shunsuke; Kurooka, Shigeru
CORPORATE SOURCE: Res. Lab., Dainippon Pharm. Co., Ltd., Suita, 564, Japan
SOURCE: Clinical Chemistry (Washington, DC, United States) (1990), 36(8, Pt. 1), 1530
CODEN: CLCHAU; ISSN: 0009-9147
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Figures 3 and 4 were interchanged in the original article. The error was not reflected in the abstr. or the index entries.
IT **68936-39-0DP**, conjugates with .beta.-galactosidase
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, for immunoassay (Erratum))
RN 68936-39-0 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide, 5-amino- (9CI) (CA INDEX NAME)



L5 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1990:151130 CAPLUS
DOCUMENT NUMBER: 112:151130
TITLE: Competitive binding enzyme immunoassay for zonisamide, a new antiepileptic drug, with selected paired-enzyme labeled antigen and antibody
AUTHOR(S): Kaibe, Kenzo; Nishimura, Shinzo; Ishii, Hiroo; Sunahara, Noriyuki; Naruto, Shunsuke; Kurooka, Shigeru
CORPORATE SOURCE: Res. Lab., Dainippon Pharm. Co., Ltd., Suita, 564, Japan
SOURCE: Clinical Chemistry (Washington, DC, United States) (1990), 36(1), 24-7
CODEN: CLCHAU; ISSN: 0009-9147
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The authors assessed the competitive binding between zonisamide (ZNS) in serum samples and .beta.-galactosidase-labeled ZNS derivs., using competing antibodies to ZNS derivs., and selected the best enzyme-labeled antigen and antibody for accurate enzyme immunoassay (EIA) of ZNA in serum without interference from its metabolites or from other antiepileptic drugs. This EIA, based on use of antibody linked to bacterial cell walls, has advantages over the HPLC in simplicity, speed (50 samples per h), and lack of requirement for special equipment. The concns. of ZNS in serum as measured by the EIA correlated well with those by HPLC (n = 33, r = 0.977).
IT **68936-39-0DP**, conjugates with .beta.-galactosidase
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, for immunoassay)
RN 68936-39-0 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide, 5-amino- (9CI) (CA INDEX NAME)

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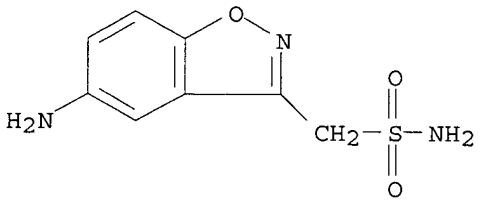
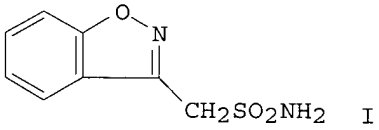


L5 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1988:106388 CAPLUS
DOCUMENT NUMBER: 108:106388
TITLE: Reproduction studies of zonisamide. (1). Fertility study in rats
AUTHOR(S): Terada, Yoshiki; Ichikawa, Hideko; Nishimura, Koichi; Ohnishi, Kumio
CORPORATE SOURCE: Res. Lab., Dainippon Pharm. Co., Ltd., Japan
SOURCE: Yakuri to Chiryo (1973-2000) (1987), 15(11), 4387-98
CODEN: YACHDS; ISSN: 0386-3603
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
GI



I

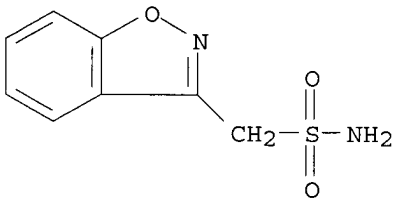
AB Zonisamide (I), a newly synthesized antiepileptic agent, was evaluated for the effects on fertility and early fetal development in the Jcl:SD rats. The compd. was administered daily by gavage to 4 groups of 25 males and 25 females at doses of 0, 20, 60, and 200 mg/kg/day. Male animals were treated for 64 days prior to mating, throughout mating period, and until completion of the reproductive performance test. Female animals were treated for 15 days prior to mating, throughout mating period, and until day 7 of gestation. In male animals, suppression of body wt. gain, decreased food consumption, and increased wts. of the liver, kidneys, and adrenals were obsd. in the 60 and 200 mg/kg dose groups; also, abnormal gait and decreased locomotor activity were obsd. in the 200 mg/kg dose group. In female animals, suppression of body wt. gain, decreased food consumption, and decreased no. of corpora lutea and implantations were obsd. in the 60 and 200 mg/kg dose groups; abnormal gait, decreased locomotor activity, and irregular estrous cycles in the 200 mg/kg dose group. No adverse effects, however, were obsd. in fertility of males or females. The no. of live fetuses was decreased in the 200 mg/kg dose group. Fetal mortality and body wt. were not affected by maternal treatment. No compd.-related external, visceral, or skeletal abnormalities were obsd. in fetuses although slightly delayed ossification was obsd. in the 60 and 200 mg/kg dose groups. In the present study, the dose of 20 mg/kg/day of zonisamide was considered to be a non-effect dose for parent animals and their fetuses in both aspects of reproductive and

general toxicity.

IT **68291-97-4P**, Zonisamide
RL: PREP (Preparation)
(reprodn. and fertility in male and female response to, fetal development in)

RN 68291-97-4 CAPLUS

CN 1,2-Benzisoxazole-3-methanesulfonamide (9CI) (CA INDEX NAME)



L5 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1987:436218 CAPLUS

DOCUMENT NUMBER: 107:36218

TITLE: Preparation of protein-hapten conjugates for immunoassay

INVENTOR(S): Kurooka, Shigeru; Nishimura, Shinzo; Ishii, Yasuo; Uno, Jun

PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

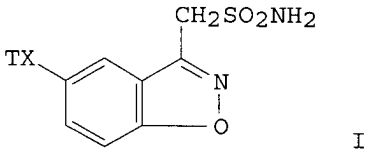
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62006168	A2	19870113	JP 1986-66941	19860325
JP 07031193	B4	19950410		

PRIORITY APPLN. INFO.: JP 1985-67923 19850329

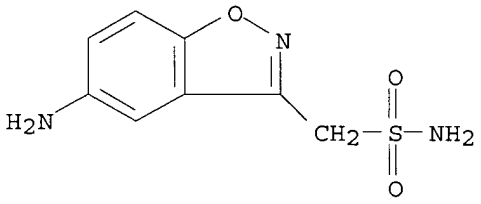
GI



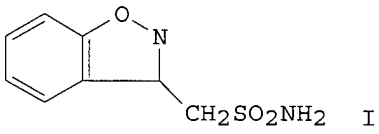
I

AB Protein-hapten conjugates I (X = linkage; T = bovine serum albumin or .beta.-D-galactosidase residue) are prepd. for use in immunoassays. A mixt. of bovine serum albumin and antiepileptic 5-amino-3-sulfamoylmethyl-1,2-benzisoxazole (II) in 0.1N HCl was adjusted to pH 7.0 and to this was added 0.02M glutaraldehyde dropwise. After stirring at room temp. for 2 h, 1M lysine (pH 7.5) was added to the reaction mixt. to terminate the reaction, and the resultant reaction mixt. was dialyzed to form I (T = bovine serum albumin) for antibody prodn. For labeled antigen prepn. .beta.-D-galactosidase and II were reacted in the presence of

glutaraldehyde.
IT **68936-39-0DP**, conjugates with bovine serum albumin or galactosidase
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, for immunoassay)
RN 68936-39-0 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide, 5-amino- (9CI) (CA INDEX NAME)



L5 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1983:498746 CAPLUS
DOCUMENT NUMBER: 99:98746
TITLE: Absorption, distribution and excretion of 3-(sulfamoyl[14C]methyl)-1,2-benzisoxazole (AD-810) in rats, dogs and monkeys and of AD-810 in men
AUTHOR(S): Matsumoto, K.; Miyazaki, H.; Fujii, T.; Kagemoto, A.; Maeda, T.; Hashimoto, M.
CORPORATE SOURCE: Res. Lab., Dainippon Pharm. Co., Ltd., Osaka, Japan
SOURCE: Arzneimittel-Forschung (1983), 33(7), 961-8
CODEN: ARZNAD; ISSN: 0004-4172
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

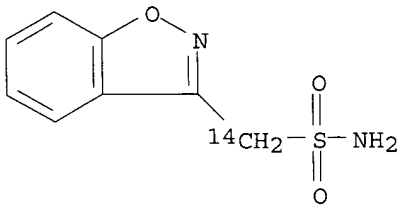


I

AB The metab. of 14C-labeled AD-810 (I) [68291-97-4] in rats, dogs, and monkeys was studied after oral administration of 20 mg I/kg. In a preliminary study, healthy volunteers ingested 200 mg I and pharmacokinetic measurements were made. In animals, [14C]AD-810 was completely absorbed from the digestive tract, and urinary and biliary excretion accounted for almost the entirety of the radioactive dose. Plasma levels of I were maximal several hours after administration and decreased exponentially. In rats, tissue levels were similar to plasma levels, and tissue radioactivity disappeared at about the same rate as from plasma. In fetal rats, radioactivity levels were similar to those of maternal tissues. Considerable I was taken up by the erythrocytes of all species. Most radioactivity was excreted via the urine within 48-72 h after administration to animals. In humans, the excretion of unchanged I was rather slow. In rats, the pharmacokinetic picture was not altered by 7 consecutive daily oral doses of I.

Golam Shameem

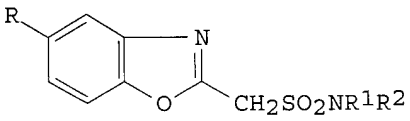
IT **86919-70-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and pharmacokinetics of)
RN 86919-70-2 CAPLUS
CN 1,2-Benzisoxazole-3-methane-.alpha.-14C-sulfonamide (9CI) (CA INDEX NAME)



L5 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1980:495260 CAPLUS
DOCUMENT NUMBER: 93:95260
TITLE: 2-(Sulfamoylmethyl)benzoxazoles
INVENTOR(S): Uno, Hitoshi; Kurokawa, Mikio; Masuda, Yoshinobu
PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 54163570	A2	19791226	JP 1978-71378	19780612
JP 61059308	B4	19861216		

PRIORITY APPLN. INFO.: JP 1978-71378 19780612
GI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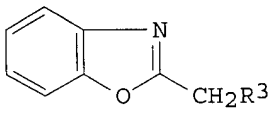
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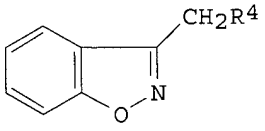
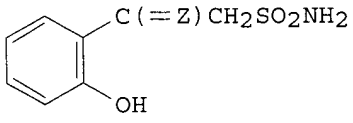

III

IV

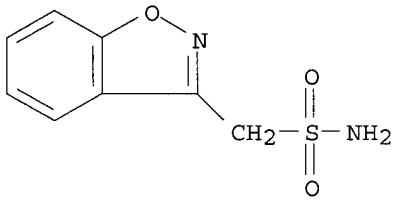
AB Five anticonvulsant benzoxazoles I (R = H, Cl; NR1R2 = NH2, NHMe, NMe2, NHPr) were prepd., e.g. via II (R3 = Br, SO2Cl) or via III (R4 = Br, SO2NH2) and IV (Z = O, NOH). Thus, 3.0 g II (R3 = Br) was heated with 1.9 g Na2SO3 in aq. MeOH at 60.degree. 6 h, evapd., and heated with POCl3. The crude II (R3 = SO2Cl) was dissolved in EtOAc and satd. with NH3 to give 0.4 g I (R = R1 = R2 = H) (V), which was converted to its Na salt. Alternatively, 25 g III (R4 = SO2NH2), prepd. via III (R4 = Br, SO2Cl), was hydrogenated over Pd-C to give 24 g IV (Z = O). Its oxime (1.0 g) was

heated at 170.degree. 10 min in vacuo to give 0.06 g V.

IT **68291-97-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and hydrogenation of)

RN 68291-97-4 CAPLUS

CN 1,2-Benzisoxazole-3-methanesulfonamide (9CI) (CA INDEX NAME)



L5 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1980:453966 CAPLUS

DOCUMENT NUMBER: 93:53966

TITLE: 3-(Sulfamoylmethyl)-1,2-benzisoxazole as an anticonvulsant

INVENTOR(S): Uno, Jun; Kurokawa, Mikio; Masuda, Yoshinobu

PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

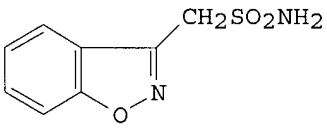
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 54163823	A2	19791226	JP 1978-71377	19780612
JP 61059288	B4	19861216		

PRIORITY APPLN. INFO.: JP 1978-71377 19780612

GI



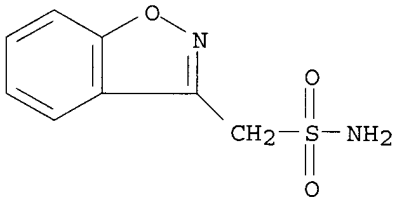
I

AB Anticonvulsants contained 3-(sulfamoylmethyl)-1,2-benzisoxazole (I) [68291-97-4] or its alkali salts as major components. Thus, a tablet compn. contained I 100, lactose 35, starch 17, cryst. cellulose 40, poly(vinylpyrrolidone) 6, silicic anhydride 1, and Mg stearate 1 g, which showed ED50 of 11.9 mg/kg against max. elec. shock in rats, vs. 18.0 mg/kg for diphenylhydantoin (II) and carbamazepine (III). The LD50 for I, II, and III were 1829, 363, and 1700 mg/kg p.o. resp.

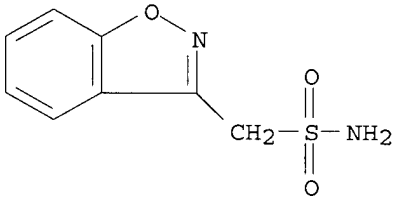
IT **68291-97-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

Golam Shameem

(prepn. and anticonvulsant activity of)
RN 68291-97-4 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide (9CI) (CA INDEX NAME)



IT **68291-98-5P**
RL: PREP (Preparation)
(prepn. of, as anticonvulsant)
RN 68291-98-5 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide, monosodium salt (9CI) (CA INDEX NAME)

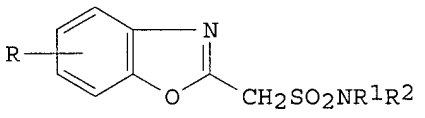


● Na

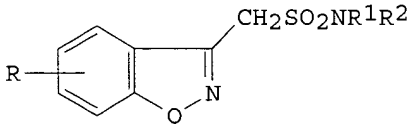
L5 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1980:408158 CAPLUS
DOCUMENT NUMBER: 93:8158
TITLE: Heterocyclic methanesulfonamide derivatives with anticonvulsive action
PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan
SOURCE: Fr. Demande, 23 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2428033	A1	19800104	FR 1978-17345	19780609
FR 2428033	B1	19801121		

PRIORITY APPLN. INFO.: FR 1978-17345 19780609
GI



I

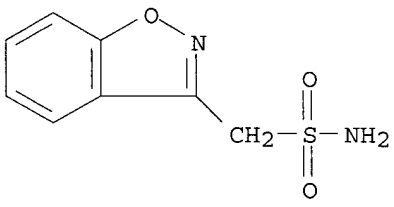


II

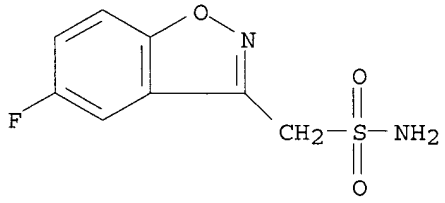
AB 2-Benzoxazolemethanesulfonamides and benzisoxazole isomers I and II [R = H, halo; R1 and R2 (same or different) are H or alkyl], which were prepd. from the bromoethyl analogs, showed anticonvulsant and antispasmodic activity. 3-(Bromomethyl)benzisoxazole reacted with Na2SO3, the Na methanesulfonate analog obtained was converted to the acid chloride, and the product was treated with NH3 to give II (R = R1 = R2 = H).

IT **68291-97-4P 68291-99-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and anticonvulsant activity of)

RN 68291-97-4 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide (9CI) (CA INDEX NAME)

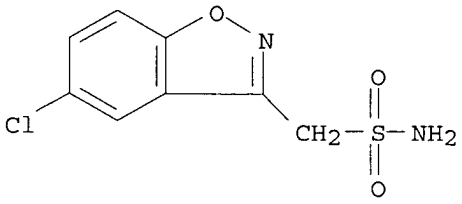


RN 68291-99-6 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide, 5-fluoro- (9CI) (CA INDEX NAME)

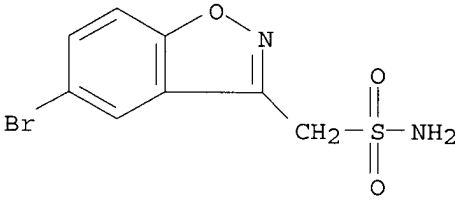


IT **68292-12-6P 68292-17-1P 68936-37-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and antispasmodic activity of)

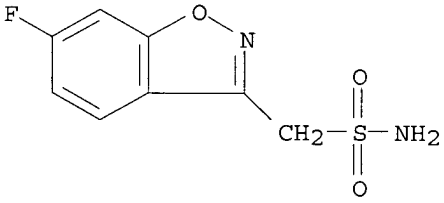
RN 68292-12-6 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide, 5-chloro- (9CI) (CA INDEX NAME)



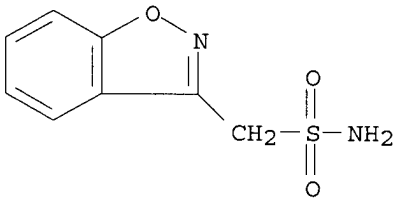
RN 68292-17-1 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide, 5-bromo- (9CI) (CA INDEX NAME)



RN 68936-37-8 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide, 6-fluoro- (9CI) (CA INDEX NAME)



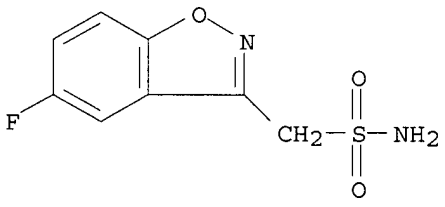
IT **68291-98-5P 73101-76-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 68291-98-5 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide, monosodium salt (9CI) (CA INDEX NAME)



● Na

RN 73101-76-5 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide, 5-fluoro-, monosodium salt (9CI) (CA INDEX NAME)

Golam Shameem



● Na

L5 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1980:181160 CAPLUS
DOCUMENT NUMBER: 92:181160
TITLE: Methane-sulfonamide derivatives
INVENTOR(S): Uno, Hitoshi; Kurokawa, Mikio; Masuda, Yoshinobu
PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan
SOURCE: U.S., 7 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4172896	A	19791030	US 1978-912857	19780605

PRIORITY APPLN. INFO.: US 1978-912857 19780605
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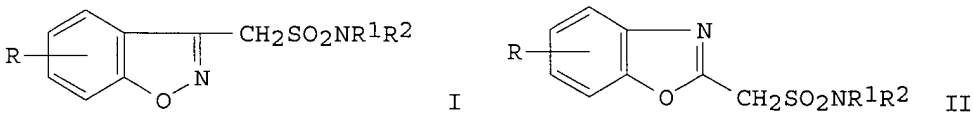
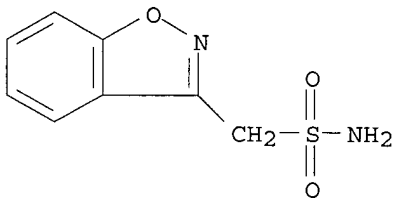


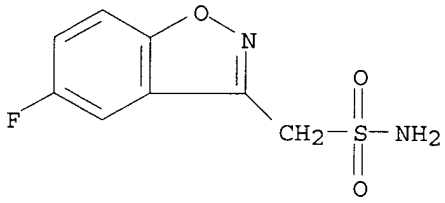
I II

AB Benzisoxazole- and benzoxazolemethanesulfonamides I and II [R = H, halo; R1, R2 (same or different) = H, C1-3 alkyl], useful as anticonvulsants, were prepd. Thus, stirring 3-(bromomethyl)-1,2-benzisoxazole in MeOH with aq. NaSO3 at 50.degree. 4 h gave Na 1,2-benzisoxazole-3-methanesulfonate, which was converted to the acid chloride with POCl3 and treated with NH3 to give I (R = H). I and II had activity similar to that of diphenylhydantoin but with about twice the safety index.

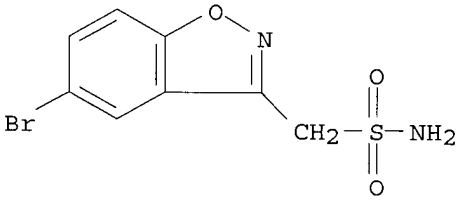
IT **68291-97-4P 68291-99-6P 68292-17-1P**
68936-37-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and anticonvulsant properties of)
RN 68291-97-4 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide (9CI) (CA INDEX NAME)



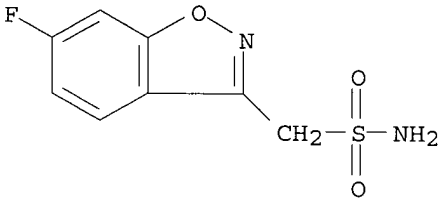
RN 68291-99-6 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide, 5-fluoro- (9CI) (CA INDEX NAME)



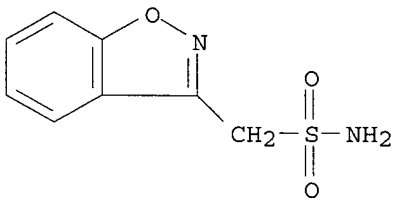
RN 68292-17-1 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide, 5-bromo- (9CI) (CA INDEX NAME)



RN 68936-37-8 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide, 6-fluoro- (9CI) (CA INDEX NAME)

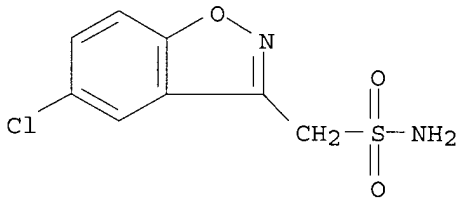


IT **68291-98-5P 68292-12-6P 73101-76-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 68291-98-5 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide, monosodium salt (9CI) (CA INDEX NAME)

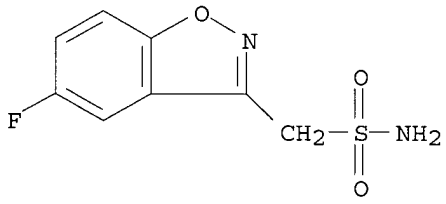


● Na

RN 68292-12-6 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide, 5-chloro- (9CI) (CA INDEX NAME)



RN 73101-76-5 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide, 5-fluoro-, monosodium salt (9CI) (CA INDEX NAME)



● Na

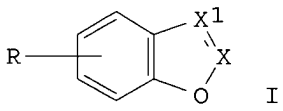
L5 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1980:128899 CAPLUS
DOCUMENT NUMBER: 92:128899
TITLE: Sulfamoylmethylbenzisoxazoles and -benzoxazoles
INVENTOR(S): Uno, Hitoshi; Kurokawa, Mikio; Masuda, Yoshinobu
PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan
SOURCE: Ger. Offen., 17 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

DE 2825410	A1	19791213	DE 1978-2825410	19780609
DE 2825410	C2	19880825		

PRIORITY APPLN. INFO.: DE 1978-2825410 19780609

GI



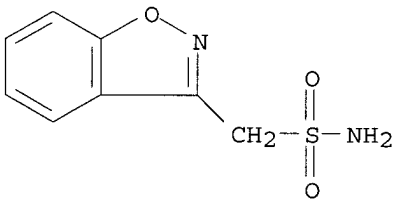
I

AB The title compds. I (one of X and X1 = N, the other = CCH2SO2NR1R2; R = H, halogen; R1 and R2 = H, C1-3 alkyl) and their alkali metal salts were prepd. for use as antiepileptics (test data tabulated). Thus, 3-(bromomethyl)-1,2-benzisoxazole was treated successively with aq. Na2SO3 in MeOH and POCl3 to give I (R = H, X = N, X1 = CCH2SO2Cl), which was treated with NH3 to give I (R = H, X = N, X1 = CCH2SO2NH2).

IT **68291-97-4P 68291-99-6P 68292-12-6P**
68292-17-1P 68936-37-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and antiepileptic activity of)

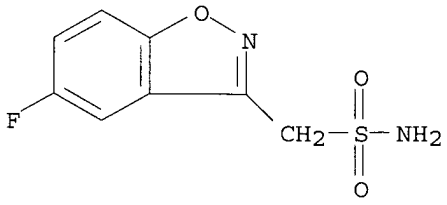
RN 68291-97-4 CAPLUS

CN 1,2-Benzisoxazole-3-methanesulfonamide (9CI) (CA INDEX NAME)



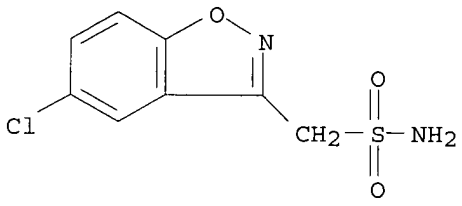
RN 68291-99-6 CAPLUS

CN 1,2-Benzisoxazole-3-methanesulfonamide, 5-fluoro- (9CI) (CA INDEX NAME)

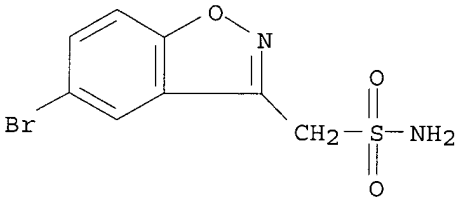


RN 68292-12-6 CAPLUS

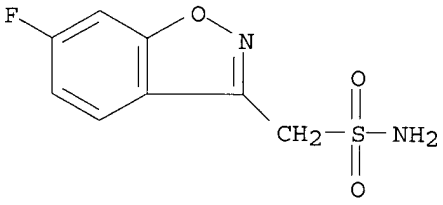
CN 1,2-Benzisoxazole-3-methanesulfonamide, 5-chloro- (9CI) (CA INDEX NAME)



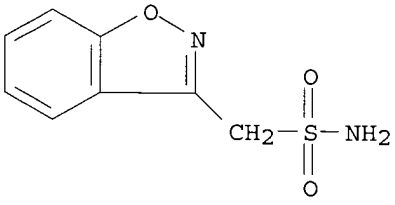
RN 68292-17-1 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide, 5-bromo- (9CI) (CA INDEX NAME)



RN 68936-37-8 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide, 6-fluoro- (9CI) (CA INDEX NAME)



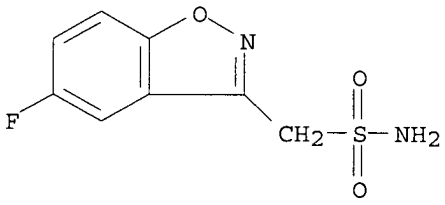
IT **68291-98-5P 73101-76-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 68291-98-5 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide, monosodium salt (9CI) (CA INDEX NAME)



● Na

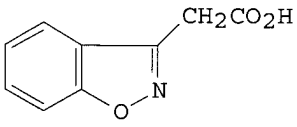
RN 73101-76-5 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide, 5-fluoro-, monosodium salt (9CI) (CA INDEX NAME)

Golam Shameem



● Na

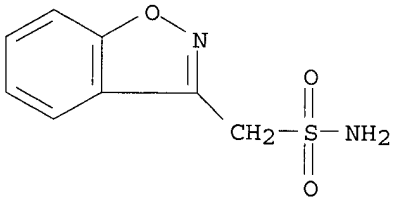
L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1979:103882 CAPLUS
DOCUMENT NUMBER: 90:103882
TITLE: Studies on 3-substituted 1,2-benzisoxazole derivatives. V. Electrophilic substitutions of 1,2-benzisoxazole-3-acetic acid
AUTHOR(S): Uno, Hitoshi; Kurokawa, Mikio
CORPORATE SOURCE: Res. Lab., Dainippon Pharm. Co., Ltd., Suita, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1978), 26(11), 3498-503
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



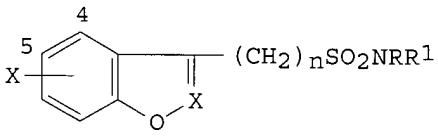
I

AB The site of the electrophilic substitution of 1,2-benzisoxazole-3-acetic acid (I) altered depending on the species of electrophiles and reaction conditions. In halogenation, only the .alpha.-methylene group of I was substituted. In chlorosulfonation, the .alpha.-methylene group was substituted at first and then the 5-position of the nucleus was substituted. In nitration, the 5-position was substituted at first and the .alpha.-methylene group was then substituted.

IT **68291-97-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 68291-97-4 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide (9CI) (CA INDEX NAME)



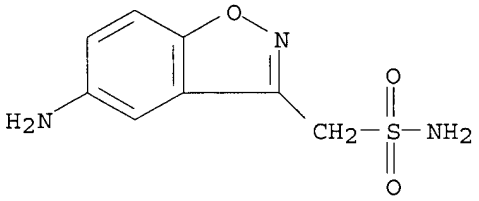
L5 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1979:66514 CAPLUS
DOCUMENT NUMBER: 90:66514
TITLE: Studies on 3-substituted 1,2-benzisoxazole derivatives. 6. Syntheses of 3-(sulfamoylmethyl)-1,2-benzisoxazole derivatives and their anticonvulsant activities
AUTHOR(S): Uno, Hitoshi; Kurokawa, Mikio; Masuda, Yoshinobu; Nishimura, Haruki
CORPORATE SOURCE: Res. Lab., Dainippon Pharm. Co., Ltd., Suita, Japan
SOURCE: Journal of Medicinal Chemistry (1979), 22(2), 180-3
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



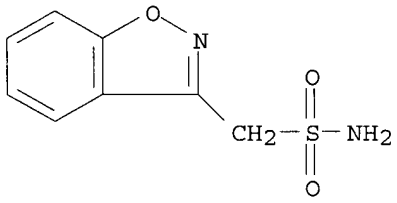
I

AB Forty-three 3-(sulfamoylmethyl)-1,2-benzisoxazole [68291-97-4] derivs. I (NRR1 = NH2, NHMe, NHNH2, etc.; X = H, F, Cl, Br, etc.; n = 1, 2, or 3) were synthesized and tested for anticonvulsant activity in mice. Most of I were synthesized from 3-(bromomethyl)-1,2-benzisoxazole [37924-85-9] by reaction with Na2SO3 followed by chlorination and amination. When X = halogen at position 5 of I, increased activity and neurotoxicity was obsd. I (R = R1 = X = H, n = 1) [68291-97-4] was the most promising anticonvulsant. Structure-activity relations are discussed.

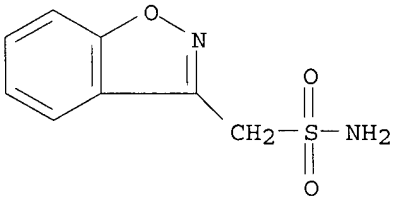
IT **68936-39-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and acetylation of)
RN 68936-39-0 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide, 5-amino- (9CI) (CA INDEX NAME)



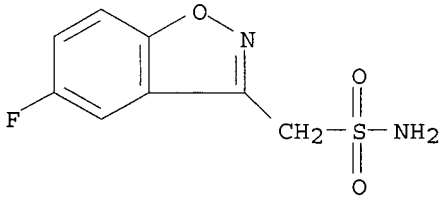
IT **68291-97-4DP**, derivs. **68291-97-4P 68291-99-6P**
68292-12-6P 68292-17-1P 68936-34-5P
68936-35-6P 68936-36-7P 68936-37-8P
68936-38-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and anticonvulsant activity of)
RN 68291-97-4 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide (9CI) (CA INDEX NAME)



RN 68291-97-4 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide (9CI) (CA INDEX NAME)

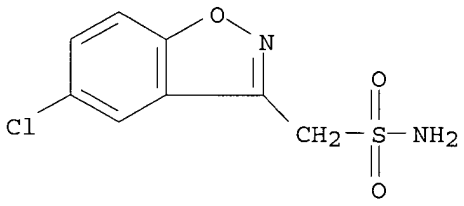


RN 68291-99-6 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide, 5-fluoro- (9CI) (CA INDEX NAME)

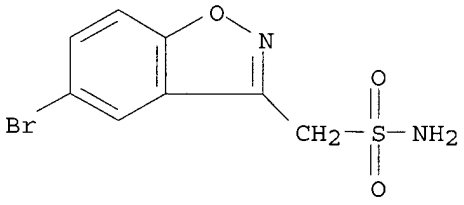


RN 68292-12-6 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide, 5-chloro- (9CI) (CA INDEX NAME)

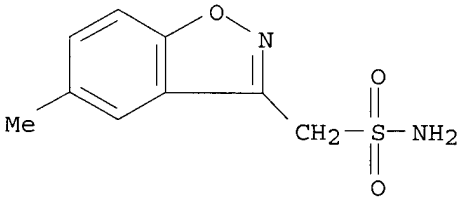
Golam Shameem



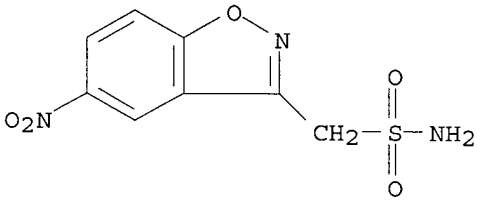
RN 68292-17-1 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide, 5-bromo- (9CI) (CA INDEX NAME)



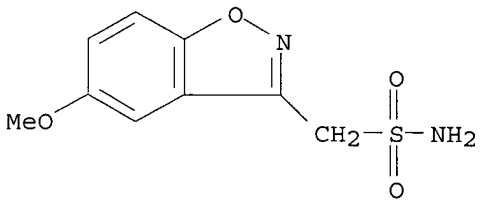
RN 68936-34-5 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide, 5-methyl- (9CI) (CA INDEX NAME)



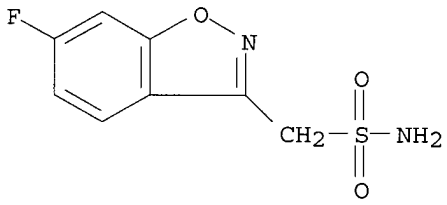
RN 68936-35-6 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide, 5-nitro- (9CI) (CA INDEX NAME)



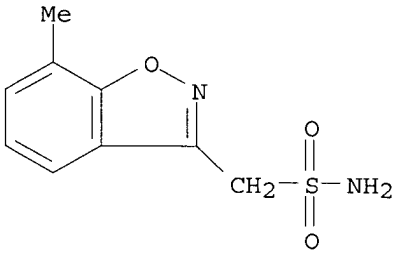
RN 68936-36-7 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide, 5-methoxy- (9CI) (CA INDEX NAME)



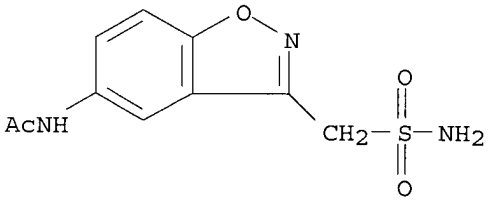
RN 68936-37-8 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide, 6-fluoro- (9CI) (CA INDEX NAME)



RN 68936-38-9 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide, 7-methyl- (9CI) (CA INDEX NAME)



IT **68936-40-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 68936-40-3 CAPLUS
CN Acetamide, N-[3-[(aminosulfonyl)methyl]-1,2-benzisoxazol-5-yl]- (9CI) (CA INDEX NAME)



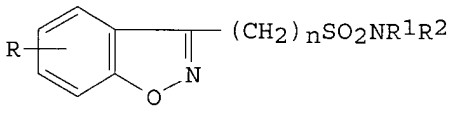
L5 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1978:615395 CAPLUS
DOCUMENT NUMBER: 89:215395
TITLE: 3-(Sulfamoylalkyl)-1,2-benzisoxazoles

Golam Shameem

INVENTOR(S): Uno, Hitoshi; Kurokawa, Mikio; Masuda, Yoshinobu
PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 53077057	A2	19780708	JP 1976-151759	19761216
JP 60033114	B4	19850801		

PRIORITY APPLN. INFO.: JP 1976-151759 19761216
GI

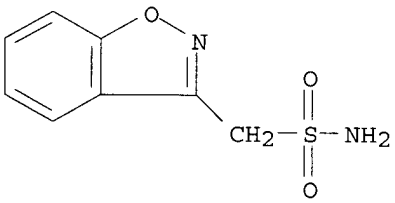


I

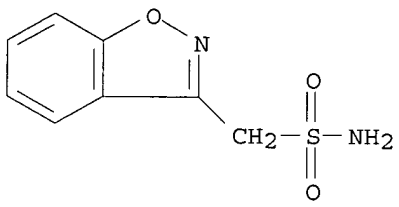
AB Twenty-eight benzisoxazoles I (R = H, 5-F, 6-F, 5-Cl, 5-Br; n = 1,2,3; NR1R2 = NH2, NHMe, NMe2, NHOH, 4-methyl-1-piperazinyl, etc), having anticonvulsant and antiepileptic activities, were prepd. from their 3-(chlorosulfonylalkyl) analogs and amines. Thus, 8.0 g 3-(bromomethyl)-1,2-benzisoxazole was heated with 8.1 g Na2SO3 in aq. MeOH at 50.degree. 4 h, evapd., and heated with 100 mL POCl3. The sulfochloride was dissolved in EtOAc and satd. with NH3 to give 5.2 g I (R = R1 = R2 = H, n = 1), converted to its Na salt with Na in EtOH. The sulfonic acid was also prepd. by heating 1,2-benzisoxazole-3-acetic acid with HSO3Cl-dioxane.

IT **68291-97-4P 68291-98-5P 68291-99-6P**
68292-00-2P 68292-12-6P 68292-17-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 68291-97-4 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide (9CI) (CA INDEX NAME)

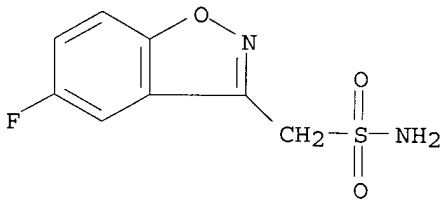


RN 68291-98-5 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide, monosodium salt (9CI) (CA INDEX NAME)

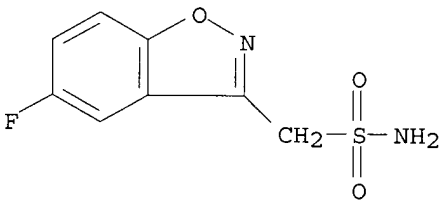


● Na

RN 68291-99-6 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide, 5-fluoro- (9CI) (CA INDEX NAME)

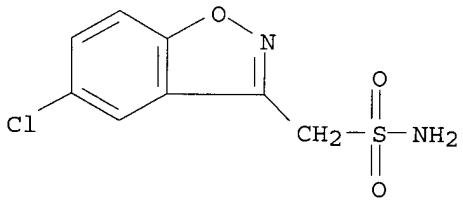


RN 68292-00-2 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide, 5-fluoro-, sodium salt (9CI) (CA INDEX NAME)

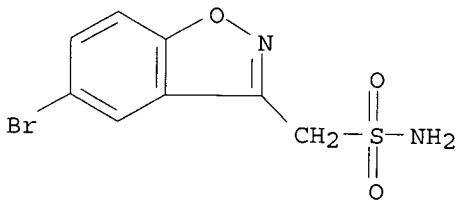


●x Na

RN 68292-12-6 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide, 5-chloro- (9CI) (CA INDEX NAME)



RN 68292-17-1 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide, 5-bromo- (9CI) (CA INDEX NAME)



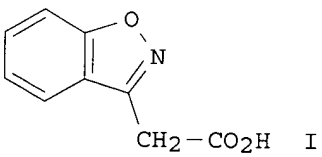
=> d ibib abs hitstr l6 tot

L6 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:695963 CAPLUS
DOCUMENT NUMBER: 137:216942
TITLE: Process for the preparation of 1,2-benzisoxazole-3-acetic acid, an intermediate in the synthesis of zonisamide
INVENTOR(S): Mendelovici, Mariorara; Nidam, Tamar
PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.
SOURCE: PCT Int. Appl., 14 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002070495	A1	20020912	WO 2002-US6419	20020304
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002183525	A1	20021205	US 2002-90710	20020304

PRIORITY APPLN. INFO.: US 2001-273172P P 20010302
US 2001-294847P P 20010531

OTHER SOURCE(S): CASREACT 137:216942
GI



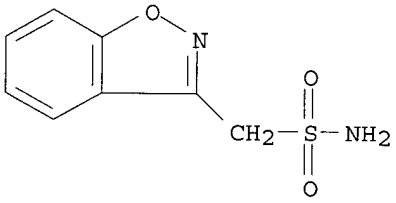
I

Golam Shameem

AB A process for the prepareation of 1,2-benzisoxazole-3-acetic acid (I) from 4-hydroxycoumarin and hydroxylamine.HCl in the presence of a base is disclosed. Compd. I has com. importance as a key intermediate in the prepn. of Zonisamide. For example, a soln. of 4-hydroxycoumarin (100 g), hydroxylamine hydrochloride (150 g) and diethylamine (160 g) in MeOH (500 mL) was heated at reflux for 1 h. The reaction mixt. was evapd. to dryness and the solid dissolved in aq. NaHCO3 and extd. with ether. After acidification of the aq. phase, the product was isolated by filtration, washed with water and dried to provide I (99.82 g) in 93 % wt./wt. yield. Avantages of the present invention are: (1) the prep. of I without the use of metallic sodium; and (2) the minimization of reaction side-products, e.g., oxime. The process is thus substantially less hazardous than previous methods. The invention also claims the prep. I or salts of which are converted to 1,2-benzisoxazole-3-methanesulfonamide, i.e., zonisamide.

IT **68291-97-4P**, 1,2-Benzisoxazole-3-methanesulfonamide
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(product; process for the prepn. of 1,2-benzisoxazole-3-acetic acid, an intermediate in the synthesis of zonisamide)

RN 68291-97-4 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide (9CI) (CA INDEX NAME)

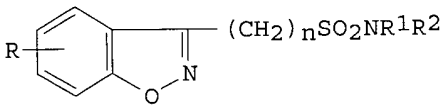


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1978:615395 CAPLUS
DOCUMENT NUMBER: 89:215395
TITLE: 3-(Sulfamoylalkyl)-1,2-benzisoxazoles
INVENTOR(S): Uno, Hitoshi; Kurokawa, Mikio; Masuda, Yoshinobu
PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 53077057	A2	19780708	JP 1976-151759	19761216
JP 60033114	B4	19850801		

PRIORITY APPLN. INFO.: JP 1976-151759 19761216
GI

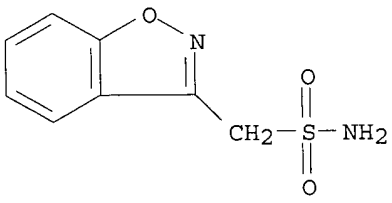


I

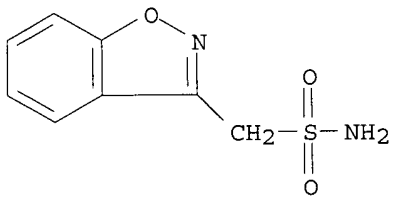
AB Twenty-eight benzisoxazoles I (R = H, 5-F, 6-F, 5-Cl, 5-Br; n = 1,2,3; NR1R2 = NH2, NHMe, NMe2, NHOH, 4-methyl-1-piperazinyl, etc), having anticonvulsant and antiepileptic activities, were prepd. from their 3-(chlorosulfonylalkyl) analogs and amines. Thus, 8.0 g 3-(bromomethyl)-1,2-benzisoxazole was heated with 8.1 g Na2SO3 in aq. MeOH at 50.degree. 4 h, evapd., and heated with 100 mL POCl3. The sulfochloride was dissolved in EtOAc and satd. with NH3 to give 5.2 g I (R = R1 = R2 = H, n = 1), converted to its Na salt with Na in EtOH. The sulfonic acid was also prepd. by heating 1,2-benzisoxazole-3-acetic acid with HSO3Cl-**dioxane**.

IT **68291-97-4P 68291-98-5P 68291-99-6P**
68292-00-2P 68292-12-6P 68292-17-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 68291-97-4 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide (9CI) (CA INDEX NAME)



RN 68291-98-5 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide, monosodium salt (9CI) (CA INDEX NAME)



● Na

RN 68291-99-6 CAPLUS
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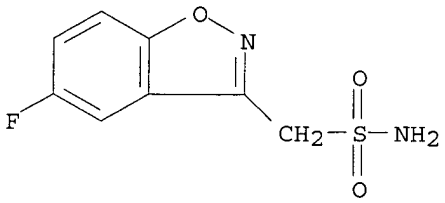

RN 68292-00-2 CAPLUS
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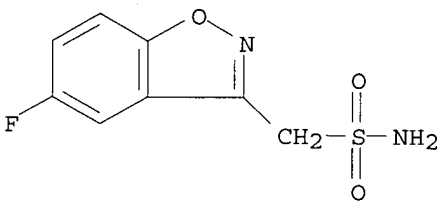

●x Na

RN 68292-12-6 CAPLUS
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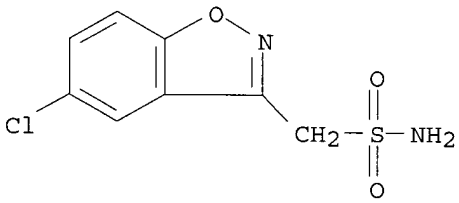
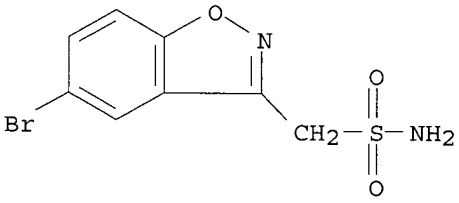


RN 68292-17-1 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide, 5-bromo- (9CI) (CA INDEX NAME)



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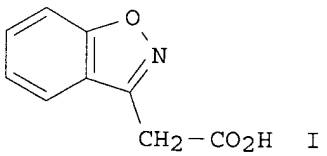
L7 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:695963 CAPLUS

Golam Shameem

DOCUMENT NUMBER: 137:216942
TITLE: Process for the preparation of 1,2-benzisoxazole-3-acetic acid, an intermediate in the synthesis of zonisamide
INVENTOR(S): Mendelovici, Mariorara; Nidam, Tamar
PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.
SOURCE: PCT Int. Appl., 14 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002070495	A1	20020912	WO 2002-US6419	20020304
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002183525	A1	20021205	US 2002-90710	20020304

PRIORITY APPLN. INFO.: US 2001-273172P P 20010302
US 2001-294847P P 20010531
OTHER SOURCE(S): CASREACT 137:216942
GI



I

AB A process for the prepareation of 1,2-benzisoxazole-3-acetic acid (I) from 4-hydroxycoumarin and hydroxylamine.HCl in the presence of a base is disclosed. Compd. I has com. importance as a key intermediate in the prepn. of Zonisamide. For example, a soln. of 4-hydroxycoumarin (100 g), hydroxylamine hydrochloride (150 g) and diethylamine (160 g) in MeOH (500 mL) was heated at reflux for 1 h. The reaction mixt. was evapd. to dryness and the solid dissolved in aq. NaHCO3 and extd. with ether. After acidification of the aq. phase, the product was isolated by filtration, washed with water and dried to provide I (99.82 g) in 93 % wt./wt. yield. Avantages of the present invention are: (1) the prep. of I without the use of metallic sodium; and (2) the minimization of reaction side-products, e.g., oxime. The process is thus substantially less hazardous than previous methods. The invention also claims the prep. I or salts of which are converted to 1,2-benzisoxazole-3-methanesulfonamide, i.e., zonisamide.

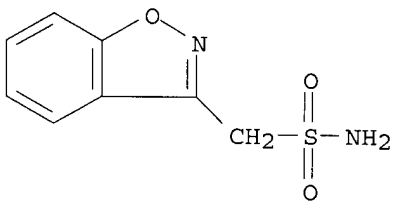
IT **68291-97-4P**, 1,2-Benzisoxazole-3-methanesulfonamide
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

Golam Shameem

(product; process for the prepn. of 1,2-benzisoxazole-3-acetic acid, an intermediate in the synthesis of zonisamide)

RN 68291-97-4 CAPLUS

CN 1,2-Benzisoxazole-3-methanesulfonamide (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1978:615395 CAPLUS

DOCUMENT NUMBER: 89:215395

TITLE: 3-(Sulfamoylalkyl)-1,2-benzisoxazoles

INVENTOR(S): Uno, Hitoshi; Kurokawa, Mikio; Masuda, Yoshinobu

PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 53077057	A2	19780708	JP 1976-151759	19761216
JP 60033114	B4	19850801		

PRIORITY APPLN. INFO.: JP 1976-151759 19761216

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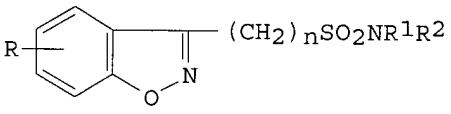


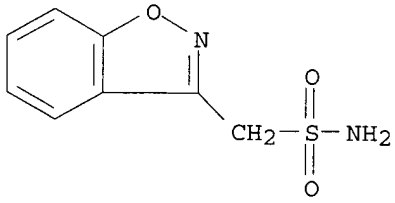
I

AB Twenty-eight benzisoxazoles I (R = H, 5-F, 6-F, 5-Cl, 5-Br; n = 1,2,3; NR1R2 = NH2, NHMe, NMe2, NHOH, 4-methyl-1-piperazinyl, etc), having anticonvulsant and antiepileptic activities, were prepd. from their 3-(chlorosulfonylalkyl) analogs and amines. Thus, 8.0 g 3-(bromomethyl)-1,2-benzisoxazole was heated with 8.1 g Na2SO3 in aq. MeOH at 50.degree. 4 h, evapd., and heated with 100 mL POCl3. The sulfochloride was dissolved in EtOAc and satd. with NH3 to give 5.2 g I (R = R1 = R2 = H, n = 1), converted to its Na salt with Na in EtOH. The sulfonic acid was also prepd. by heating 1,2-benzisoxazole-3-acetic acid with HSO3Cl-**dioxane**.

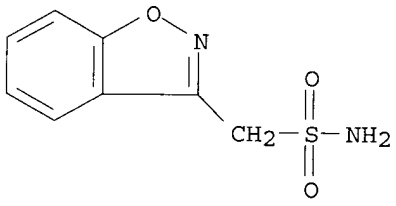
IT **68291-97-4P 68291-98-5P 68291-99-6P**
68292-00-2P 68292-12-6P 68292-17-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

Golam Shameem

RN 68291-97-4 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide (9CI) (CA INDEX NAME)

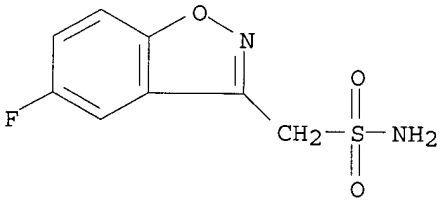


RN 68291-98-5 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide, monosodium salt (9CI) (CA INDEX NAME)

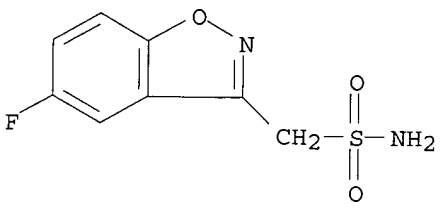


● Na

RN 68291-99-6 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide, 5-fluoro- (9CI) (CA INDEX NAME)



RN 68292-00-2 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide, 5-fluoro-, sodium salt (9CI) (CA INDEX NAME)



●x Na

RN 68292-12-6 CAPLUS
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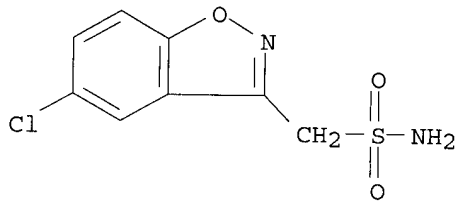
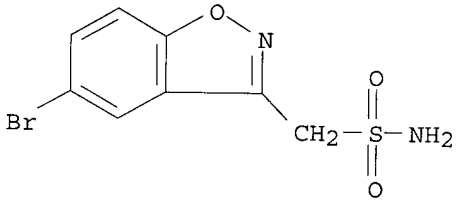


RN 68292-17-1 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonamide, 5-bromo- (9CI) (CA INDEX NAME)



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L13 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:695963 CAPLUS
DOCUMENT NUMBER: 137:216942
TITLE: Process for the preparation of 1,2-benzisoxazole-3-acetic acid, an intermediate in the synthesis of zonisamide
INVENTOR(S): Mendelovici, Mariorara; Nidam, Tamar
PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.
SOURCE: PCT Int. Appl., 14 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002070495	A1	20020912	WO 2002-US6419	20020304

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2002183525	A1	20021205	US 2002-90710	20020304

PRIORITY APPLN. INFO.:
US 2001-273172P P 20010302
US 2001-294847P P 20010531

OTHER SOURCE(S): CASREACT 137:216942

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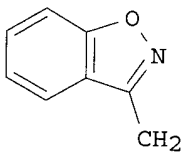


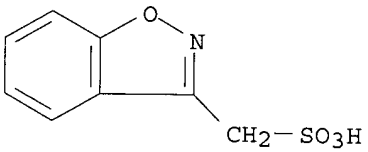
CH_2-CO_2H I

AB A process for the prepareation of 1,2-benzisoxazole-3-acetic acid (I) from 4-hydroxycoumarin and hydroxylamine.HCl in the presence of a base is disclosed. Compd. I has com. importance as a key intermediate in the prepn. of Zonisamide. For example, a soln. of 4-hydroxycoumarin (100 g), hydroxylamine hydrochloride (150 g) and diethylamine (160 g) in MeOH (500 mL) was heated at reflux for 1 h. The reaction mixt. was evapd. to dryness and the solid dissolved in aq. NaHCO3 and extd. with ether. After acidification of the aq. phase, the product was isolated by filtration, washed with water and dried to provide I (99.82 g) in 93 % wt./wt. yield. Avantages of the present invention are: (1) the prep. of I without the use of metallic sodium; and (2) the minimization of reaction side-products, e.g., oxime. The process is thus substantially less hazardous than previous methods. The invention also claims the prep. I or salts of which are converted to 1,2-benzisoxazole-3-methanesulfonamide, i.e., zonisamide.

IT **73101-64-1P**, 1,2-Benzisoxazole-3-methanesulfonic acid sodium salt
342623-49-8P, 1,2-Benzisoxazole-3-methanesulfonic acid
457635-27-7P 457635-28-8P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(product; process for the prepn. of 1,2-benzisoxazole-3-acetic acid, an intermediate in the synthesis of zonisamide)

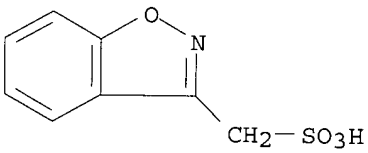
RN 73101-64-1 CAPLUS

CN 1,2-Benzisoxazole-3-methanesulfonic acid, sodium salt (9CI) (CA INDEX NAME)

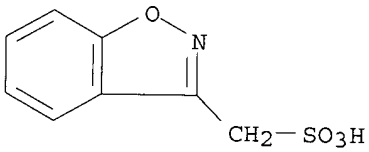


● Na

RN 342623-49-8 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonic acid (9CI) (CA INDEX NAME)

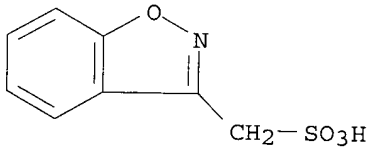


RN 457635-27-7 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonic acid, calcium salt (9CI) (CA INDEX NAME)



●1/2 Ca

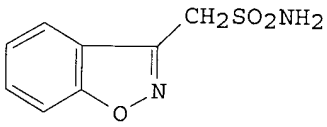
RN 457635-28-8 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonic acid, barium salt (9CI) (CA INDEX NAME)



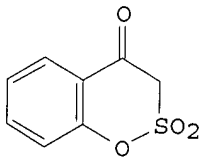
●1/2 Ba

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1982:181246 CAPLUS
DOCUMENT NUMBER: 96:181246
TITLE: Studies on 3-substituted 1,2-benzisoxazole derivatives. VII. Catalytic reduction of 3-sulfamoylmethyl-1,2-benzisoxazole and reactions of the resulting products
AUTHOR(S): Uno, Hitoshi; Kurokawa, Mikio
CORPORATE SOURCE: Res. Lab., Dainippon Pharm. Co., Ltd., Suita, 564, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1982), 30(1), 333-5
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

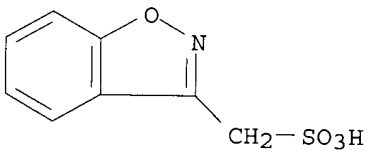


IV

AB Hydrogenation of 3-sulfamoylmethyl-1,2-benzisoxazole (I) gave 30% 2-HOC6H4C(:Z)CH2SO2NH2 (II; Z = O)(III) and 39% II (Z = NH). Treatment of III with acid gave 98% benzoxathiinone dioxide (IV). II (Z = NOH) was recyclized to give 1,2-benzisoxazole derivs. by treatment with acid or base. On pyrolysis III gave benzoxazole derivs.

IT **73101-64-1P 81534-20-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

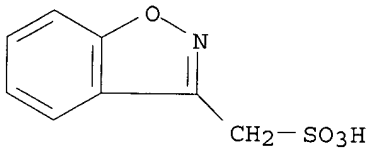
RN 73101-64-1 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonic acid, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 81534-20-5 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonic acid, ammonium salt (9CI) (CA INDEX NAME)

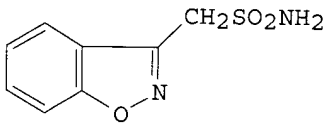
Golam Shameem



L13 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1980:453966 CAPLUS
DOCUMENT NUMBER: 93:53966
TITLE: 3-(Sulfamoylmethyl)-1,2-benzisoxazole as an anticonvulsant
INVENTOR(S): Uno, Jun; Kurokawa, Mikio; Masuda, Yoshinobu
PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 54163823	A2	19791226	JP 1978-71377	19780612
JP 61059288	B4	19861216		

PRIORITY APPLN. INFO.: JP 1978-71377 19780612
GI



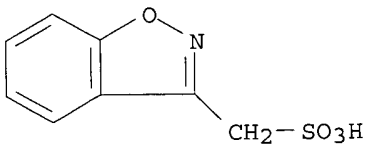
I

AB Anticonvulsants contained 3-(sulfamoylmethyl)-1,2-benzisoxazole (I) [68291-97-4] or its alkali salts as major components. Thus, a tablet compn. contained I 100, lactose 35, starch 17, cryst. cellulose 40, poly(vinylpyrrolidone) 6, silicic anhydride 1, and Mg stearate 1 g, which showed ED50 of 11.9 mg/kg against max. elec. shock in rats, vs. 18.0 mg/kg for diphenylhydantoin (II) and carbamazepine (III). The LD50 for I, II, and III were 1829, 363, and 1700 mg/kg p.o. resp.

IT **73101-64-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, with phosphoryl chloride)

RN 73101-64-1 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonic acid, sodium salt (9CI) (CA INDEX NAME)

Golam Shameem

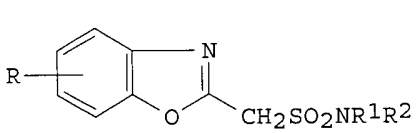


● Na

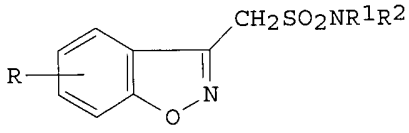
L13 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1980:408158 CAPLUS
DOCUMENT NUMBER: 93:8158
TITLE: Heterocyclic methanesulfonamide derivatives with anticonvulsive action
PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan
SOURCE: Fr. Demande, 23 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2428033	A1	19800104	FR 1978-17345	19780609
FR 2428033	B1	19801121		

PRIORITY APPLN. INFO.: FR 1978-17345 19780609
GI



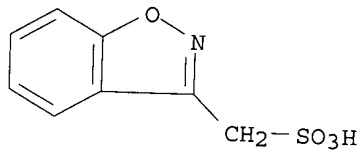
I



II

AB 2-Benzoxazolemethanesulfonamides and benzisoxazole isomers I and II [R = H, halo; R1 and R2 (same or different) are H or alkyl], which were prepd. from the bromoethyl analogs, showed anticonvulsant and antispasmodic activity. 3-(Bromomethyl)benzisoxazole reacted with Na2SO3, the Na methanesulfonate analog obtained was converted to the acid chloride, and the product was treated with NH3 to give II (R = R1 = R2 = H).

IT **73101-64-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, with phosphoryl chloride)
RN 73101-64-1 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonic acid, sodium salt (9CI) (CA INDEX NAME)

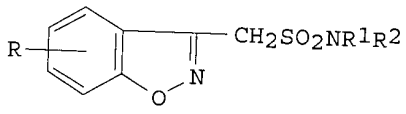


● Na

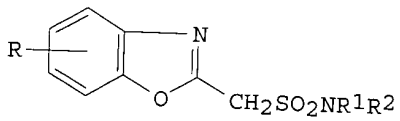
L13 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1980:181160 CAPLUS
DOCUMENT NUMBER: 92:181160
TITLE: Methane-sulfonamide derivatives
INVENTOR(S): Uno, Hitoshi; Kurokawa, Mikio; Masuda, Yoshinobu
PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan
SOURCE: U.S., 7 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4172896	A	19791030	US 1978-912857	19780605

PRIORITY APPLN. INFO.: US 1978-912857 19780605
GI



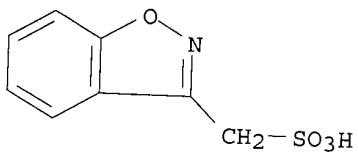
I



II

AB Benzisoxazole- and benzoxazolemethanesulfonamides I and II [R = H, halo; R1, R2 (same or different) = H, C1-3 alkyl], useful as anticonvulsants, were prepd. Thus, stirring 3-(bromomethyl)-1,2-benzisoxazole in MeOH with aq. NaSO3 at 50.degree. 4 h gave Na 1,2-benzisoxazole-3-methanesulfonate, which was converted to the acid chloride with POCl3 and treated with NH3 to give I (R = H). I and II had activity similar to that of diphenylhydantoin but with about twice the safety index.

IT **73101-64-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and acid chloride formation from)
RN 73101-64-1 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonic acid, sodium salt (9CI) (CA INDEX NAME)

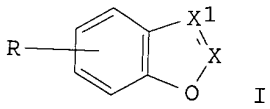


● Na

L13 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1980:128899 CAPLUS
DOCUMENT NUMBER: 92:128899
TITLE: Sulfamoylmethylbenzisoxazoles and -benzoxazoles
INVENTOR(S): Uno, Hitoshi; Kurokawa, Mikio; Masuda, Yoshinobu
PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan
SOURCE: Ger. Offen., 17 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2825410	A1	19791213	DE 1978-2825410	19780609
DE 2825410	C2	19880825		

PRIORITY APPLN. INFO.: DE 1978-2825410 19780609
GI

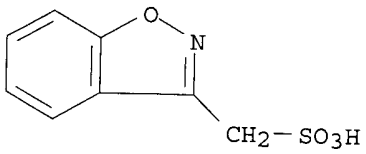


I

AB The title compds. I (one of X and X1 = N, the other = CCH2SO2NR1R2; R = H, halogen; R1 and R2 = H, C1-3 alkyl) and their alkali metal salts were prepd. for use as antiepileptics (test data tabulated). Thus, 3-(bromomethyl)-1,2-benzisoxazole was treated successively with aq. Na2SO3 in MeOH and POCl3 to give I (R = H, X = N, X1 = CCH2SO2Cl), which was treated with NH3 to give I (R = H, X = N, X1 = CCH2SO2NH2).

IT **73101-64-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and chlorination of)

RN 73101-64-1 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonic acid, sodium salt (9CI) (CA INDEX NAME)



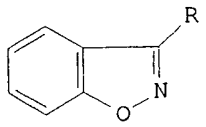
● Na

=> log y
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	118.82	447.40
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-16.28	-16.28

STN INTERNATIONAL LOGOFF AT 11:15:22 ON 12 FEB 2003

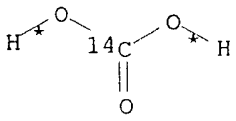
ANSWER 1 CASREACT COPYRIGHT 2002 ACS
AN 110:192693 CASREACT
TI Synthesis of 1,2-benzisoxazole-3-acetic-.alpha.-14C and -.beta.-14C acid
AU Thourel, P.; Noel, J. P.; Beaucourt, J. P.
CS Serv. Mol. Marquees, CEN-Saclay, Gif-sur-Yvette, 91191, Fr.
SO J. Labelled Compd. Radiopharm. (1988), 25(11), 1235-44
CODEN: JLCRD4; ISSN: 0362-4803
DT Journal
LA French
CC 28-6 (Heterocyclic Compounds (More Than One Hetero Atom))
GI

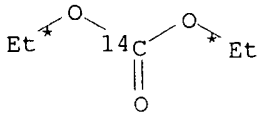


I

AB The title compd. I (R = 14CH2CO2H) was obtained from Ba14CO3 via PhO2C14CH3 and 4-coumarinol-3-14C. I (R = CH214CO2H) was obtained via reaction of 2-HOC6H4Ac with (EtO)214CO, obtained from Ba14CO3.
ST benzisoxazoleacetate carbon 14
IT 108-95-2, Phenol, reactions
RL: RCT (Reactant)
(esterification of, with labeled acetate)
IT 120267-91-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and Fries rearrangement of)
IT 120240-19-9P 120267-90-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and hydrolysis of)
IT 120240-17-7P 120240-18-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, with Et carbonate)
IT 109023-41-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, with Et iodide)
IT 62078-51-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, with hydroxyacetophenone)
IT 86919-71-3P, 1,2-Benzisoxazole-3-acetic-.alpha.-14C acid 120240-16-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
IT 582-24-1, 2-Hydroxyacetophenone
RL: RCT (Reactant)
(reaction of, with labeled Et carbonate)
IT 993-05-5
RL: RCT (Reactant)
(reaction of, with phenol)
IT 1882-53-7
RL: RCT (Reactant)
(reaction of, with silver nitrate)

RX(1) OF 16 A ===> B...



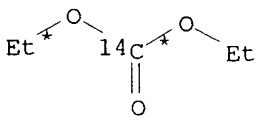


●2 Ag(I)

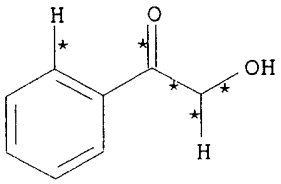
A (1) B

RX(1) RCT A 109023-41-8
RGT C 121-44-8 Et3N, D 75-03-6 EtI
PRO B 62078-51-7
SOL 68-12-2 DMF

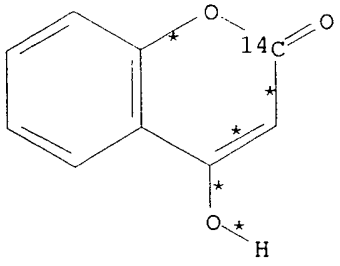
RX(2) OF 16 ...B + F ===> G...



B



F (2)



G

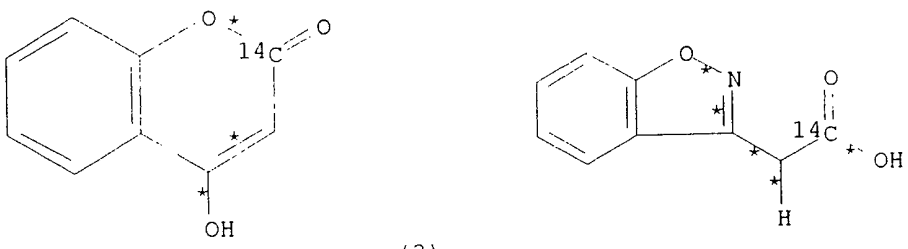
RX(2) RCT B 62078-51-7, F 582-24-1

STAGE(1)

RGT H 141-52-6 NaOEt
SOL 64-17-5 EtOH

STAGE(2)
SOL 71-43-2 Benzene
PRO G 120267-90-5

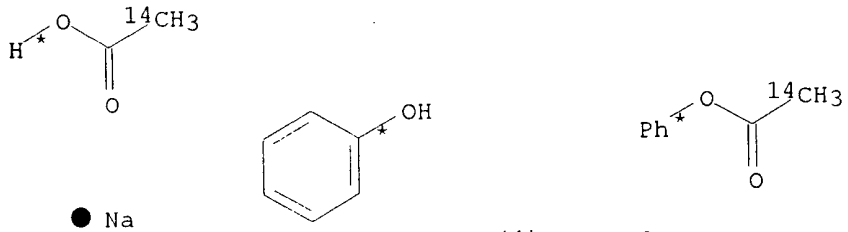
RX(3) OF 16 ...G ===> K



G (3) ⟶ K

RX(3) RCT G 120267-90-5
RGT L 7803-49-8 NH2OH, H 141-52-6 NaOEt
PRO K 120240-16-6
SOL 64-17-5 EtOH

RX(4) OF 16 M + N ===> O...



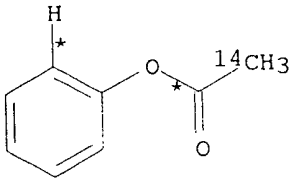
M N (4) ⟶ O
YIELD 80%

RX(4) RCT M 993-05-5

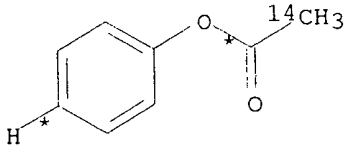
STAGE(1)
RGT P 7719-09-7 SOCl2
SOL 71-43-2 Benzene

STAGE(2)
RCT N 108-95-2
SOL 71-43-2 Benzene
PRO O 120267-91-6

RX(5) OF 16 ...2 O ===> Q + R...

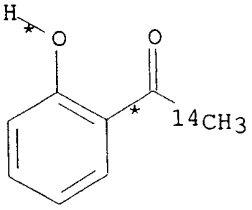


O

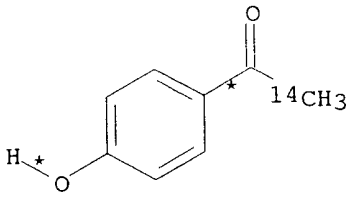


O

(5)



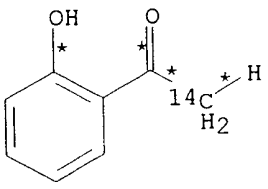
Q



R

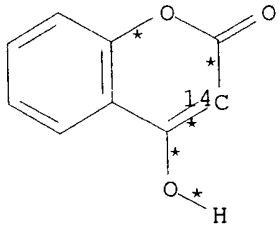
RX(5) RCT O 120267-91-6
PRO Q 120240-17-7, R 120240-18-8
CAT 7446-70-0 AlCl3
SOL 75-15-0 CS2

RX(6) OF 16 ...Q ===> U...



Q

(6)



U

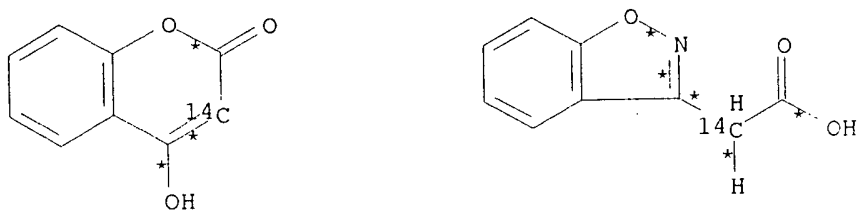
RX(6) RCT Q 120240-17-7

STAGE(1)
RGT H 141-52-6 NaOEt, L 7803-49-8 NH2OH
SOL 64-17-5 EtOH

STAGE(2)

SOL 71-43-2 Benzene
PRO U 120240-19-9

RX(7) OF 16 ...U ===> V



U

(7)

V
YIELD 32%

RX(7) RCT U 120240-19-9
RGT L 7803-49-8 NH2OH, H 141-52-6 NaOEt
PRO V 86919-71-3
SOL 64-17-5 EtOH

```
=> s chlorosulfon?(l)sulfonat?
         12586 CHLOROSULFON?
         98554 SULFONAT?
L1        1007 CHLOROSULFON?(L)SULFONAT?

=> s l1 (l)dioxan?
         81433 DIOXAN?
L2          21 L1 (L)DIOXAN?

=> s l2 and benzisoxaz?
          1418 BENZISOXAZ?
L3           0 L2 AND BENZISOXAZ?

=> s l2 and ?isoxa?
         24197 ?ISOXA?
L4           0 L2 AND ?ISOXA?

=> s l2 and acet?
       1358974 ACET?
L5           8 L2 AND ACET?

=> d bib abs 1-8

L5   ANSWER 1 OF 8  CAPLUS  COPYRIGHT 2003 ACS
AN   1989:534492  CAPLUS
DN   111:134492
TI   DL-Camphor-3-sulfonic acid and other keto .alpha.-sulfonic acids
AU   Cremlyn, Richard J.; Wu, Luke
CS   Div. Chem. Sci., Hatfield Polytech., Hatfield/Herts., AL10 9AB, UK
SO   Phosphorus and Sulfur and the Related Elements (1988), 39(3-4), 165-71
     CODEN: PREEDF; ISSN: 0308-664X
DT   Journal
LA   English
OS   CASREACT 111:134492
GI
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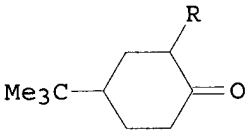
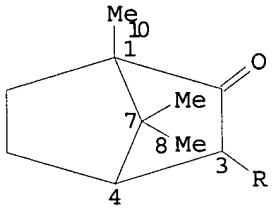
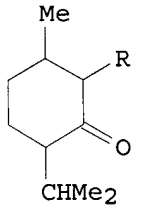


II



III



IV

AB   Sulfur trioxide-**dioxan** reagent was used to convert **acetophenone**, 4-tert-butylcyclohexanone, DL-camphor and menthone to .alpha.-sulfonic acids [PhCOCH2SO3Na (I), II-IV (R = SO3Na)]. Attempts to convert I, II, and III to the resp. sulfonyl chlorides were unsuccessful. However, camphor-3-sulfonyl chloride (III; R = SO2Cl) was obtained, and was characterized as the amides III (R = SO2NR1R2; R1 = R2 = Et; R1 = H, R2 = CH2Ph, Ph; NR1R2 = morpholino) and the N-phenylhydrazide III (R = SO2NHNHPh). With **chlorosulfonic** acid I afforded the 2.omega.-disulfonyl chloride (o-ClSO2C6H4COCH2SO2Cl). The mechanism of .alpha.-**sulfonation** is briefly discussed together with the spectral data and results of preliminary biol. screening.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L5   ANSWER 2 OF 8  CAPLUS  COPYRIGHT 2003 ACS
AN   1977:454019  CAPLUS
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DN 87:54019
TI Microporous cation exchange resins
IN Fujiwara, Hiroshi; Takahashi, Asao; Sekiya, Masaaki
PA Maruzen Oil Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 52035189	A2	19770317	JP 1975-111059	19750912
	JP 55024445	B4	19800628		
PRAI	JP 1975-111059		19750912		

AB A microporous resin obtained from a copolymer of acyloxy or hydroxystyrene and polyene compds. is **sulfonated** to give a microporous cation exchange resin. Thus, a mixt. of p-**acetoxystyrene** 40, divinylbenzene 10, Bz2O2 0.5, and isooctane 50 g was stirred, and mixed with 150 mL aq. soln. contg. 0.5 g poly(vinyl alc.) and 5 g NaCl. This mixt. was stirred 3 h at 80.degree. and cooled to room temp. to give 43.1 g resin [60280-88-8] of which (25 g) was mixed with 20 mL HCl, 80 mL MeOH, and 20 mL H2O and hydrolyzed 3 h at 73.degree. to give 19.8 g resin. A mixt. of 10 g hydrolyzed polymer, 300 mL **dioxane**, and 21.9 mL **chlorosulfonic** acid, was stirred 3 h at 80.degree. to give 15.8 g yellow opaque resin with cation exchange capacity 5.99 mequiv/g, surface area 121 m2/g, and particle size 295 .ANG..

L5 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2003 ACS
AN 1972:73090 CAPLUS
DN 76:73090
TI Preparation of ion-exchange membranes from ethylene-styrene copolymers
AU Leszko, Maciej; Russer, Aleksander
CS Zakl. Chem. Ogolnej, Uniw. Jagiellonski, Cracow, Pol.
SO Polimery (Warsaw, Poland) (1971), 16(7), 327-30
CODEN: POLIA4; ISSN: 0032-2725
DT Journal
LA Polish
AB The swelling of ethylene-styrene copolymer (I) [25068-12-6] in **acetone** and then in styrene contg. 0.5% Bz2O2 gave a membrane of homogenous structure. The membrane was **chlorosulfonated**, hydrolyzed, chloromethylated in the presence of ZnCl2, swollen in **dioxane**, treated with NEt3, and immersed in dild. HCl soln. to give an anion exchange membrane with 0.60 mequiv./g ion exchange capacity and 0.96 selectivity (P). P is the ratio of the transport no. of the counter ion in the membrane to its transport no. eluent (Conway, B. E., 1952). The best results were obtained when the membrane contained 5:1 ethylene-styrene units ratio. The **sulfonation** of I with SO3-Et3PO4 mixt. (USA 3,072,618), instead of **chlorosulfonation** and hydrolysis also gave satisfactory results.

L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2003 ACS
AN 1961:134788 CAPLUS
DN 55:134788
OREF 55:25378c-f
TI Improvement of adhesivity of films of poly(.alpha.-olefins)
PA "Montecatini" Societa generale per l'industria mineraria e chimica
DT Patent
LA Unavailable
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 868159		19610517	GB	
	US 3112199		1963	US	

AB Adhesivity is conferred upon films, esp. of polypropylene, by treating with 1 or more chlorinating, **sulfonating**, or **chlorosulfonating** agents. The treated film may be further treated with an amine. Thus, a film of cryst. polypropylene is passed during 0.5 sec. at room temp. through a bath consisting of 2% **chlorosulfonic** acid in ClCH:CCl2. The film is removed from the bath, kept at 20.degree. for 2 sec., washed with H2O, and then passed during 0.5 sec. through a 2nd bath consisting of 2% iso-BuNH2 in **dioxane**. The film is washed with H2O and dried. Other suitable agents are Cl, SCl2, concd. H2SO3, and SO2Cl2. Other suitable amines are tetramethylenepentamine, ethanolamine, diethanolamine, ethylenediamine, and ethylenimine. The treated films are useful as bases for photographic gelatin coatings. When laminated with themselves or with, e.g., films of polyesters or vinyl chloride-vinyl **acetate** copolymers, they are useful in packaging. Suitable adhesives for such lamination are epoxy resins in **acetone**, low-mol.-wt. polyamide resins, and poly(vinyl **acetate**)-poly(ethylenimine) mixts.

L5 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2003 ACS
AN 1961:43079 CAPLUS
DN 55:43079
OREF 55:8336i,8337a-i,8338a-f
TI Diuretics. V. A new route to disulfamoyl derivatives of benzene
AU Petrow, V.; Stephenson, O.; Wild, A. M.
SO J. Pharm. and Pharmacol. (1960), 12, 705-19
DT Journal
LA Unavailable
AB Sulfamoyl derivs. of aniline were converted to sulfamoyl sulfonyl chlorides, which were condensed with NH3 and with amines to give 1,2-, 1,3-, and 1,4-disulfamoyl derivs. of benzene. 4-Toluenesulfonyl fluoride (97.5 g.), 130 g. **chlorosulfonic** acid, and 173 g. CCl4 was refluxed at 100.degree. 3 hrs., cooled, a poured on ice, extd. with CCl4, the ext. washed, the CCl4 removed, and the residual distd. to give 55 g. crude **chlorosulfonyl**-4-toluenesulfonyl fluoride, b0.6 146-56.degree., m. 41-4.degree., 10 g. of which treated with NH3 in H2O and **dioxane** at -10.degree. and HCl added gave 5.4 g. 2-sulfamoyl-4-toluenesulfonyl fluoride (I), m. 212-14.degree. (aq. EtOH). The mother liquor deposited 2.95 g. 2,4-toluenedisulfonamide, m. 185.degree.. I (0.4 g.) added to 5 ml. 25% aq. MeNH2, after 1.5 hrs. at room temp. excess MeNH2 distd., the liquid cooled, and acidified gave 2-sulfamoyl-N-methyl-4-toluenesulfonamide, m. 172-4.degree. (aq. EtOH). Nitrosulfamides prepd. were [substituent(s) and NRR' in 5-R'RNSO2C6H4NO2 and m.p. given]: 2-Me, NMe2, 92-4.degree.; 2-Me, piperidino, 110-11.degree.; Et, NH2, 128-9.degree.; iso-Pr, NH2, 123-4.degree.; iso-Pr, NHMe, 113-15.degree.; 4-MeO, NHMe, 178-80.degree.; 4-MeO, NH2, 223-5.degree.; 2-Cl, NHMe, 70-2.degree.; 2-Cl, NMe2, 103-4.degree.; 4-Br, NH2, 204-5.degree.; 2-Cl, 4-Cl, NH2, 176-8.degree.; 2-Cl, 3-Me, NHMe, 127-9.degree.; 2-Cl, 4-Me, NH2, 158-60.degree.; 2-Cl, 4-Me, NHMe, 134-6.degree.; 2-PhO, NMe2, 105.degree.. 2-Nitro-4-sulfamoyltoluene (55 g.) in 500 ml. warm EtOH contg. 5 g. Raney Ni plus H at 100.degree./30 atm. 1.5 hrs. was boiled, filtered, and cooled to give 35 g. 2-amino-4-sulfamoyltoluene (II), m. 175.degree. (water) (also Fe and AcOH in H2O contg. octanol was refluxed with the nitro compd. to give II). Diazotization of 9.3 g. II in 24% HCl with 3.8 g. NaNO2 in 9 ml. H2O at 0-5.degree., addn. of the soln. at once without cooling and with vigorous stirring to a satd. soln. of SO2 in 80 ml. glacial AcOH contg. 3.5 g. CuCl2.2H2O, and after 5 min. diln. with ice water pptd. 10.4 g. 2-(**chlorosulfonyl**)-4-toluenesulfonamide (III), m. 162-4.degree. (1,2-Cl2C2H4-light petroleum). Portionwise addn. of 13.5 g. III at room temp. to 12.8 g. piperidine, 100 ml. H2O, and 60 ml. CHCl3 with stirring continued 30 min., distn. of CHCl3 and excess piperidine in vacuo, and addn. of HCl gave 4-sulfamoyltoluene-2-sulfonopiperidide, m. 160-2.degree. (aq. EtOH). Portionwise addn. of 100 g. Na 4-nitrotoluene-2-

sulfonate-2H2O to 10 ml. dimethylformamide and 100 ml. SOCl2, heating at 100.degree. 10 min., distn. of excess SOCl2, soln. of the residue in 400 ml. CHCl3, addn. to 800 ml. NH3 (d. 0.88) at room temp. with stirring continued 1 hr., removal of excess NH3 and CHCl3, and addn. of HCl to the cooled aq. soln. gave 76% 4-nitro-2-sulfamoyltoluene, m. 186-7.degree. (water); 4-amino deriv. (85% by redn. with Fe + acid) m. 164.degree. (water). Aminosulfonamides prepd. were [substituent(s) and NRR' in 5-R'RNSO2C6H4NH2 and m.p. given]: 2-Me, NH2, 175.degree.; 2-Me, NHMe, 163.degree. (Ac deriv. prepd.); 2-Me, NMe2, 172-4.degree.; 2-Me, piperidino, 117-18.degree.; 2-Et, NH2, 130-2.degree. [HCl salt m. 226-8.degree. (decompn.)]; 2-Et, NHMe, - [HCl salt m. 210-2.degree. (decompn.)]; 2-Pr, NH2, - (HCl salt m. 193-5.degree.); 2-Pr, NHMe, - (HCl salt m. 208-10.degree.); 2-iso-Pr, NH2, - [HCl salt m. 215.degree. (decompn.)]; 2-iso-Pr, NHMe, 103-5.degree.; 2-Me, 3-Me, NH2, 159-60.degree.; 2-Me, 3-Me, NHMe, 242-4.degree. (decompn.); 2-Me, 4-Me, NH2, 189-90.degree.; 4-MeO, NH2, 190.degree.; 4-MeO, NHMe, 176-8.degree.; 2-Cl, NH2, 157-9.degree.; 2-Cl, NHMe, 85-6.degree.; 4-Cl, NH2, 168-70.degree.; 2-Cl, NMe2, 149-51.degree.; 2-Br, NH2, 160-2.degree.; 4-Br, NH2, 202.degree. (decompn.); 2-Cl, 4-Cl, NH2, 216-18.degree.; 2-Cl, 3-Me, NH2, 144-5.degree.; 2-Cl, 3-Me, NHMe, 202-4.degree.; 2-Cl, 4-Me, NH2, 213.degree.; 2-Cl, 4-Me, NHMe, 131-3.degree.; 2-PhO, NMe2, 97-9.degree.. **Chlorosulfonyl** sulfonamides, 5-R' RNSO2C6H4SO2Cl, prepd. were: H, NH2, 154-6.degree.; 2-Me, NH2, 162-4.degree.; 4-Me, NH2, 203-5.degree.; 2-Me, NHMe, 126-7.degree.; 2-Me, piperidino, 155-6.degree.; 2-Pr, NH2, 181-3.degree.; 2-Pr, NHMe, 93-4.degree.; 2-iso-Pr, NH2, 205.degree.; 2-iso-Pr, NHMe, 99-101.degree.; 4-MeO, NH2, 183-5.degree.; 2-Cl, NH2, 191-2.degree.; 4-Cl, NH2, 186-8.degree.; 2-Cl, NMe2, 128-30.degree.; 2-Br, NH2, 202-4.degree.; 2-Cl, 4-Cl, NH2, 197-9.degree.; 2-PhO, NMe2, 119-21.degree.. Addn. of 126.5 g. m-chlorotoluene to 300 ml. **chlorosulfonic** acid below 30.degree. with stirring continued 2 hrs., then slow addn. of the mixt. to ice gave the crude sulfonyl chloride, which was added to 200 ml. fuming HNO3 (d. 1.50) followed by 50 ml. H2SO4 and warming at 40.degree. 1 hr., then cooling and addn. to ice water to give 5-chloro-4-nitrotoluene-2-sulfonyl chloride, m. 108-10.degree. (light petroleum). Substituted 1,3-disulfonamides prepd. were [substituents, NAB and NDE in 3-DENO2SC6H4SO2NAB, and m.p. given]: NHMe, NH2, 138-40.degree.; NHBu, NH2, 124-5.degree.; NHC2H4OH, NH2, 132-3.degree., NHPh, NH2, 147-9.degree.; NMe2, NH2, 177-8.degree.; 1,2,3,6-tetrahydro-1-pyridyl, NH2, 157-9.degree.; 6-Me, NHMe, NH2, 128-30.degree.; 6-Me, NHEt, NH2, 143-4.degree.; 6-Me, NHC3H5, NH2, 130-1.degree.; 6-Me, NHC2H4OH, NH2, 144-5.degree.; 6-Me, NHPh, NH2, 123-5.degree.; 6-Me, NMe2, NH2, 136-8.degree.; 6-Me, piperidino, NH2, 160-2.degree.; 6-Me, 2-phenyl-1,2,3,6-tetrahydro-1-pyridyl, NH2, 176-7.degree.; 6-Me, NH2, NHMe, 172-4.degree.; 6-Me, NH2, NHEt, 133-5.degree.; 6-Me, NH2, NHBu, 123-4.degree.; 6-Me, NH2, NHC2H4OH, 162-4.degree.; 6-Me, NH2, NHPh, 150-2.degree.; 6-Me, NH2, NHC2H4Ph, 130-1.degree.; 6-Me, NH2, NMe2, 161-3.degree.; 6-Me, NH2, NMeC2H4OH, 142-4.degree.; 6-Me, NH2, piperidino, 150-2.degree.; 6-Me, 1,2,3,6-tetrahydro-1-pyridyl, 126-8.degree.; 6-Me, NMe2, NHMe, 89-90.degree.; 6-Me, NHMe, piperidino, 118-19.degree.; 6-Me, morpholino, piperidino, 150-1.degree.; 6-Et, NH2, NHMe, 157-9.degree.; 6-Et, NHMe, NH2, 127-9.degree.; 6-Pr, NHMe, NH2, 153-5.degree.; 6-Pr, NH2, NHMe, 145-6.degree.; 6-iso-Pr, NHMe, NH2, 157-9.degree.; 6-iso-Pr, NH2. NHMe, 172-4.degree.; 5-Me, 6-Me, NHMe, NH2, 184-6.degree.; 5-Me, 6-Me, NH2, NHMe, 157-9.degree.; 4-Me, 6-Me, NHMe, NH2, 171-3.degree.; 6-MeO, NHMe, NH2, 208-9.degree.; 6-MeO, NH2, NHMe, 203-4.degree.; 6-Cl, NHMe, NH2, 139-40.degree.; 6-Cl, NH2, NHMe, 177-9.degree.; 6-Cl, NH2, NHEt, 146-8.degree.; 6-Cl, NH2, NHC2H4OH, 162-4.degree.; 6-Cl, NH2, NMe2, 182-4.degree.; 6-Cl, NH2, piperidino, 172-4.degree.; 6-Cl, NEt2, NHMe, 98-100.degree.; 6-Br, NHMe, NH2, 165-6.degree.; 6-Br, NH2, NHMe, 175-6.degree.; 4-Cl, 6-Cl, NHMe, NH2, 210-11.degree.; 5-Me, 6-Cl, NHMe, NH2, 179-80.degree.; 5-Me, 6-Cl, NH2, NHMe, 182-4.degree.; 4-Cl, 6-Me, NHMe, NH2, 223-5.degree.; 4-Cl, 6-Me, NH2, NHMe, 192-4.degree.; 6-PhO,

NH2, NHMe, 163-5.degree.. 2,5-Disubstituted derivs. of benzene, toluene, and chlorobenzene were prepd. (H, Me, and Cl indicated) (substituents at 2 and 5 and m.p. given): H, SO2NH2, SO2Cl, 155-7.degree.; H, SO2NH2, SO2NHMe, 160-1.degree.; H, SO2NH2, SO2NHC2H4OH, 150-1.degree.; H, SO2NH2, SO2NMe2, 203.degree.; H, SO2NHMe, SO2NHMe, 223-5.degree.; Me, SO2Cl, NO2, 68-9.degree.; Me, SO2NHMe, NO2, 172-4.degree.; Me, SO2NHMe, NH2, 117-18.degree.; Me, SO2NHMe, SO2Cl, 117-19.degree.; Me, SO2NHMe, SO2NH2, 125-6.degree.; Me, SO2NH2, NO2, 155-6.degree.; Me, SO2NH2, NH2, 170-2.degree.; Me, SO2NH2, SO2Cl, 134-6.degree.; Me, SO2NH2, SO2NH2, 228-9.degree.; Me, SO2NH2, SO2NHMe, 149-51.degree.; Me, SO2NH2, SO2NMe2, 173-5.degree.; Cl, SOCl2, NO2, 66-8.degree.; Cl, SO2NHMe, NO2, 190-1.degree.; Cl, SO2NHMe, NH2, 164-6.degree.; Cl, SO2NHMe, SO2Cl, 126-8.degree.; Cl, SO2NHMe, SO2NHMe, 144-5.degree.; Cl, SO2NHMe, SO2NH2, 177-8.degree.; Cl, SO2NH2, NO2, 149-50.degree.; Cl, SO2NH2, NH2, 180-2.degree.; Cl, SO2NH2, SO2Cl, 162-4.degree.; Cl, SO2NH2, SO2NH2, 229-31.degree.; Cl, SO2NH2, SO2NHMe, 187-9.degree.; Cl, SO2NH2, SO2NMe2, 186-8.degree..

L5 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2003 ACS
AN 1961:31930 CAPLUS
DN 55:31930
OREF 55:6224c-i,6225a-c
TI Silver halide emulsions containing color couplers
IN Weissberger, Arnold; Salminen, Ilmari F.; Mader, Paul M.
PA Kodak Ltd.
DT Patent
LA Unavailable
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 843940		19600810	GB	

AB Photographic Ag halide emulsions, contg. color couplers of the general formulas: XCOCOR, XCO2R', XOCOR', or XSO2OR'', where R is Ph or substituted phenyl, R' is C12-18 alkyl, R'' is dodecyl, and X is a radical contg. a coupling function, with a mol. wt. .ltoreq.300, have been prepd. After exposure and development, the emulsion is treated with alk. H2O2 to split the ester or diketone group, then washed to remove the portion of the uncoupled color coupler contg. the coupling function. Some typical couplers were prepd. as follows: 3-nitrophenylacetyl chloride (from 20 g. acid) was condensed with 13.0 g. anisole in 30 ml. CS2 in the presence of 18 g. AlCl3 to give 24.0 g. 2-(3-nitrophenyl)-4'-methoxyacetophenone, m. 75-9.degree. (MeOH). Boiling the latter with SeO2 in **dioxane** for 5 hrs. gave 4-methoxy-3'-nitrobenzil, m. 123-5.degree.(EtOH), which was reduced (Raney Ni, EtOAc) to the corresponding 3'-amino-4-methoxybenzil (I); HCl salt, m. 218.degree. (decomp., Me2CO-MeOH). I, 5 g., and 5.3 g. Ph 1-hydroxy-2-naphthoate were heated at 170-80.degree. 15 min., and the PhOH removed in vacuo to give 1-hydroxy-N-[3-(4-methoxyphenyl) glyoxyloyl)phenyl]-2-naphthamide, light yellow crystals, m. 186-8.degree. (MeCN). Similarly was prepd. 2-(p-cyanophenyl) **acetophenone**, m. 111-12.degree., and p-cyanobenzil, m. 109-10.degree.. The latter, 5 g., was hydrolyzed by boiling 3 hrs. in 100 ml. 1:1 H2SO4 to p-carboxybenzil (II), m. 228-30.degree.. II, 2.5 g., boiled with 25 ml. SOCl2 1 hr. the excess SOCl2 removed, and the residue dissolved in 25 ml. hot HOAc, was added all at once to a soln. of 3.6 g. 1-(p-aminophenyl)-3-butyrylamino-5-benzoyloxypyrazole and 2.0 g. NaOAc in 35 ml. HOAc. After 1 hr., the mixt. was poured into H2O to yield 46 g. 1-{4-[4-(phenylglyoxyloyl)-benzamido] phenyl}-3-butyramido-5-benzoyloxypyrazole, yellow crystals, m. 221-3.degree. (MeCN). m-Carboxybenzil, m. 185-6.degree., was converted to the acid chloride and condensed with 1-(2,4,6-trichlorophenyl)-3-(m-aminobenzamido)-5-benzoyloxypyrazole to give 1-(2,4,6-trichlorophenyl)-3-{3-[3-(phenylglyoxyloyl)benzamido] benzamido}-5-benzoyloxypyrazole, yellow crystals, m. 185-7.degree.. I, 2.55 g., and 2.4 g. Et

p-nitrobenzoylacetate were boiled in xylene 1 hr. giving .alpha.-(p-nitrobenzoyl)-3-(p-methoxyphenylglyoxyloyl)**acetanilide**, a yellow solid, m. 205-6.degree. (MeCN). 3-Nitrophenylacetyl chloride treated with CS2 and N-phenethylacetamide in the presence of AlCl3 gave 2-(3-nitrophenyl)-4'-(p-**acetamidoethyl**)**acetophenone**, m. 146-7.degree. (aq. MeOH) which was oxidized by SeO2 to 4-(2-**acetamidoethyl**)-3'-nitrobenzil in 2 polymorphic modifications, m. 135-6.degree. and 147-8.degree.. The latter boiled with concd. HCl 5.25 hrs. gave 4-(2-aminoethyl)-3'-nitrobenzil-HCl, m. 196-7.degree. (decomp., EtOH). Condensation with 1-hydroxy-2-naphthoyl chloride in **dioxane** gave 1-hydroxy-N-[4-(3-nitrophenylglyoxyloyl)phenethyl]-2-naphthamide, m. 167-9.degree. (MeCN) which was reduced (Raney Ni) to 1-hydroxy-N-[4-(3-aminophenylglyoxyloyl) phenethyl]-2-naphthamide, then condensed with 3,5-dicarbomethoxyphenoxyacetyl chloride to yield 1-hydroxy-N-{4-{3-[.alpha.-(3,5-dicarbomethoxyphenoxy)**acetamido**] phenylglyoxyloyl}phenethyl}-2-naphthamide, m. 241-5.degree. (aq. pyridine). To 15 parts (by vol.) of concd. H2SO4 was added 1 part (by wt.) tetradecyl 1-hydroxy-2-naphthoate, the mixt. heated to 50.degree., cooled, poured onto ice to yield tetradecyl 1-hydroxy-4-sulfo-2-naphthoate-H2O. A mixt. of 1 part 5-nitroisophthaloyl chloride and 2 parts dodecyl alc. heated 1.5 hrs. on a steam bath gave didodecyl 5-nitroisophthalate, which was reduced (Raney Ni) to the amine, then condensed with Ph 1-hydroxy-2-naphthoate at 180.degree. to yield a compd. which was treated with concd. H2SO4 at 40.degree. for 0.5 hr., then dissolving the resulting compd. in EtOAc and adding Na2SO4 to give 1-hydroxy-4-sulfo-2-naphth-3,5-bis(dodecyloxycarbonyl)anilide Na salt. 1-Hydroxy-N-(2-stearoyloxyethyl)-2-naphthamide was prepd. from 1-hydroxy-N-(2-hydroxyethyl)-2-naphthamide and stearoyl chloride. Condensation of 2 parts of 1-hydroxy-N-(2-aminoethyl)-2-naphthamide with 4 parts octadecyl m-**chlorosulfonylbenzoate** in pyridine gave 1-hydroxy-N-{2-[3-(octadecyloxycarbonyl)phenylsulfonamido] ethyl}-2-naphthamide which was further **sulfonated** to 1-hydroxy-N-{2-[3-(octadecyloxycarbonyl)phenylsulfonamido]ethyl}-4-sulfo-2-naphthamide. 1-Hydroxy-N-(2-aminoethyl)-4-chloro-2-naphthamide treated with m-**chlorosulfonylbenzoyl** chloride gave 1-hydroxy-4-chloro-N-[2-(3-**chlorosulfonylbenzamido**)ethyl]-2-naphthamide, m. 175-6.degree. (decomp., PhCl). The latter with dodecyl alc. in the presence of pyridine gave 1-hydroxy-4-chloro-N-[2-(3-dodecyloxysulfonylbenzamido)ethyl]-2-naphthamide.

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS
AN 1955:19202 CAPLUS
DN 49:19202
OREF 49:3703f-i,3704a-f
TI Couplers for color photography
IN Salminen, Ilmari F.; Weissberger, Arnold
PA Eastman Kodak Co.
DT Patent
LA Unavailable
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2694635		19541116	US	

GI For diagram(s), see printed CA Issue.
AB Coupler compds. which form dyes with improved light-absorption characteristics are given by the formula I, where R is a coupler group such as phenolic hydroxyl (for cyan dyes), acylacetanilide (for yellow dyes), or 5-oxo-2-pyrazolin-3-yl unsubstituted in the 4 position (for magenta dyes) which forms a dye image with the reaction product of primary aryl amino developing agent for Ag halide; R' is a solubilizing group consisting of a substituted mononuclear aryl of the benzene series such as sulfophenyl, carboxyphenyl, or halosulfonylphenyl; R'' is an anti-diffusing group consisting of a satd. alkyl contg. from 10 to 20 C

atoms, such as dodecyl or octadecyl. The general method of prepn. consists of treating methyl 4-hydroxybenzoate with an alkyl bromide in the presence of NaOMe to form methyl 4-alkoxybenzoate which is nitrated and then hydrolyzed by alc. alkali to form 3-nitro-4-alkoxybenzoic acid. This is converted by thionyl chloride to the benzoyl chloride which is treated with a primary amino group on a suitable coupler compd. to give 3-nitro-4-alkoxybenzamido-coupler. The nitro group is reduced to the amine with Fe and HOAc and then acylated with an aromatic acid chloride or anhydride contg. the desired solubilizing group. Thus, Me 4-hydroxybenzoate was refluxed 48 hrs. with octadecyl bromide in the presence of NaOMe followed by refluxing for 3 hrs. with NaOH soln. and the top layer on recrystn. from MeOH gave Me 4-octadecyloxybenzoate, m. 76.degree.. The latter was treated with concd. HNO3 at 95.degree.. After heating for 1 1/2 hrs., the product was poured onto cracked ice and the residue was dissolved in EtOAc and recrystd. from MeOH to give Me 3-nitro-4-octadecyloxybenzoate, m. 80-1.degree.. The latter was refluxed for 45 min. with alc. KOH, acidified with HCl, and recrystd. from alc. to give 3-nitro4-octadecyloxybenzoic acid, m. 100-2.degree., which upon refluxing for 1 hr. with SOCl2, allowing to stand overnight, and removal of excess SOCl2 in vacuo gave 3-nitro-4-octadecyloxybenzoyl chloride, m. 52-3.degree.. The latter and 1-phenyl-3-amino-5-pyrazolone dissolved in **dioxane** were refluxed for 40 min. and then dild. with EtOH. On chilling with ice there was obtained 1-phenyl-3-(3-nitro-4-octadecyloxybenzamido)-5-pyrazolone, softening point 135.degree.. m. 155-60.degree.. This compd. was refluxed 10 min. with AcOH and Fe filings, then poured into water to give a gray granular ppt. which was extd. with hot **acetonitrile** and recrystd. from AcOH to give 1-phenyl-3-(3-amino-4-octadecyloxybenzamido)-5-pyrazolone, a white solid, m. 138-42.degree.. The latter was dissolved in **dioxane** and added to m-**chlorosulfonylbenzoyl** chloride which on standing overnight gave 1-phenyl-3-[3-(3-**chlorosulfonylbenzamido**)-4-octadecyloxybenzamido]-5-pyrazolone, m. 152-5.degree.. The following compds. were similarly prepd.: 1-phenyl-3-[3-(3-**chlorosulfonylbenzamido**]-4-dodecyloxybenzamido]-5-pyrazolone ; 1-phenyl-3-[3-(3,5-dichlorosulfonylbenzamido)-4-dodecyloxybenzamido]-5-pyrazolone; 1-phenyl-3-{3-[4-(4-tert-amyl-x-**chlorosulfonylphenoxy**)benzamido]-4-dogecyloxybenzamido}-5-pyrazolone; 1-phenyl-3-[4-dodecyloxy-3-(2-sulf obenzamido)benzamido]-5-pyrazolone; 1-phenyl-3-[3-(2-carboxy-x-**chlorosulfonylbenzamido**)-4-dodecyloxybenzamido]-5-pyrazolone; 1-phenyl-3-[3-(2-carboxy-x-**chlorosulfonylbenzamido**)-4-octadecyloxybenzamido]-5-pyrazolone, m. 142-3.degree.; 1-phenyl-3-[3-(2-sulfobenzamido)-4-octadecyloxybenzamido]-5-pyrazolone, m. 210-12.degree.; 1-phenyl-3-{3-[4-(4-tert-amyl-x-**chlorosulfonylphenoxy**)benzamido]-4-octadecyloxybezamido}-5-pyrazolone, m. 128-30.degree.; 1-phenyl-3-{3-[3,5-bis(**chlorosulfonyl**)benzamido]-4-octadecyloxybenzamido}-5-pyrazolone, m. 148-50.degree.; 1-hydroxy-N-{4-[3-(3-**chlorosulfonylbenzamido**)-4-octadecyloxybenzamido]-phenethyl}-2-naphthamide; 2,4-dichloro-6-[3-(3-**chlorosulfonylbenzamido**)-4-octadecyloxybenzamido]-3-methylphenol; 2-benzoyl-4'-[3-(3-**chlorosulfonylbenzamido**)-4-octadecyloxybenzamido]**acetanilide**. Couplers contg. a sulfonyl chloride group require hydrolysis to the **sulfonate** before use. Cf. C.A. 39, 4233.8; 45, 7899d.

L5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2003 ACS
AN 1954:34483 CAPLUS
DN 48:34483
OREF 48:6161h-i
TI Purification of sulfonated alkenyl aromatic resins
IN Roth, Harold H.; Smith, Hugh B.
PA Dow Chemical Co.
DT Patent
LA Unavailable

FAN.CNT 1

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PI	US 2663700		19531222	US	

AB **Sulfonation** of alkylaromatic resins, such as polystyrene, is carried out by dissolving the resin in a halohydrocarbon, such as CCl4 or CCl3Me, and adding either SO3 at 0-35.degree. or **chlorosulfonic** acid at 10-35.degree.. Highly objectionable acidic impurities are removed without impairing the desirable granular quality of the resin or dissolving it, by use of either batchwise or continuous extn. with ketones, esters, etc. Suitable solvents tested are **dioxane**, **acetone**, methyl ethyl ketone, diethyl ether, dibutyl ether, tetrahydrofuran, and methylene chloride.